Page 1 12:29 <golam shameem> 06/17/2004

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssspta1626gms

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	JAN 27	Source of Registration (SR) information in REGISTRY updated and searchable
NEWS	4	JAN 27	A new search aid, the Company Name Thesaurus, available in CA/CAplus
NEWS	5	FEB 05	German (DE) application and patent publication number format changes
NEWS	6	MAR 03	MEDLINE and LMEDLINE reloaded
NEWS	7	MAR 03	MEDLINE file segment of TOXCENTER reloaded
NEWS	8	MAR 03	FRANCEPAT now available on STN
NEWS	9	MAR 29	Pharmaceutical Substances (PS) now available on STN
NEWS	10	MAR 29	WPIFV now available on STN
NEWS	11	MAR 29	New monthly current-awareness alert (SDI) frequency in RAPRA
NEWS	12	APR 26	PROMT: New display field available
NEWS	13	APR 26	IFIPAT/IFIUDB/IFICDB: New super search and display field available
NEWS	14	APR 26	LITALERT now available on STN
NEWS	15	APR 27	NLDB: New search and display fields available
NEWS	16	May 10	PROUSDDR now available on STN
NEWS	17	May 19	PROUSDDR: One FREE connect hour, per account, in both May and June 2004
NEWS	18	May 12	EXTEND option available in structure searching
NEWS	19	May 12	Polymer links for the POLYLINK command completed in REGISTRY
NEWS	20	May 17	FRFULL now available on STN
NEWS	21	May 27	STN User Update to be held June 7 and June 8 at the SLA 2004 Conference
NEWS	22	May 27	New UPM (Update Code Maximum) field for more efficient patent SDIs in CAplus
NEWS	23	May 27	CAplus super roles and document types searchable in REGISTRY
NEWS	24	May 27	Explore APOLLIT with free connect time in June 2004

NEWS EXPRESS	MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

10761265

* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 12:15:52 ON 17 JUN 2004

=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 12:16:09 ON 17 JUN 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 16 JUN 2004 HIGHEST RN 694434-66-7
DICTIONARY FILE UPDATES: 16 JUN 2004 HIGHEST RN 694434-66-7

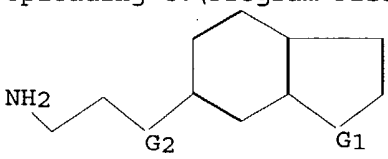
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

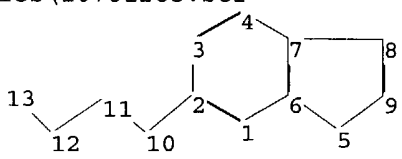
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10761265.str





chain nodes :

10761265

10 11 12 13
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
2-10 10-11 11-12 12-13
ring bonds :
1-2 1-6 2-3 3-4 4-7 5-6 5-9 6-7 7-8 8-9
exact/norm bonds :
2-10 5-6 5-9 7-8 8-9 10-11 11-12 12-13
normalized bonds :
1-2 1-6 2-3 3-4 4-7 6-7
isolated ring systems :
containing 1 :

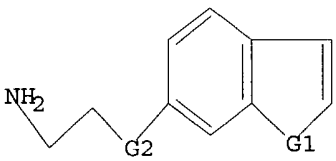
G1:O,S,CH2,NH

G2:O,S,CH2,NH

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 O,S,CH2,NH

G2 O,S,CH2,NH

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 12:16:27 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 13299 TO ITERATE

7.5% PROCESSED 1000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 259075 TO 272885
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

```
=> s l1 sss full
FULL SEARCH INITIATED 12:16:34 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 262770 TO ITERATE

100.0% PROCESSED  262770 ITERATIONS                          87 ANSWERS
SEARCH TIME: 00.00.03

L3            87 SEA SSS FUL L1

=> FIL CAPLUS
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              155.42     155.63

FILE 'CAPLUS' ENTERED AT 12:16:47 ON 17 JUN 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)
```



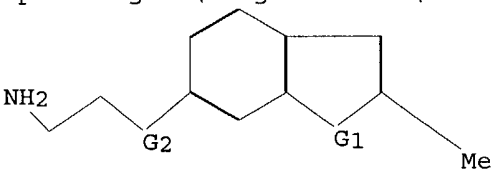
```
FILE COVERS 1907 - 17 Jun 2004  VOL 140 ISS 25
FILE LAST UPDATED: 16 Jun 2004  (20040616/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.

=> s l3
L4            22 L3

=> FIL REGISTRY
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                                1.31     156.94

FILE 'REGISTRY' ENTERED AT 12:18:25 ON 17 JUN 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   16 JUN 2004  HIGHEST RN 694434-66-7
DICTIONARY FILE UPDATES:  16 JUN 2004  HIGHEST RN 694434-66-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

  Please note that search-term pricing does apply when
```

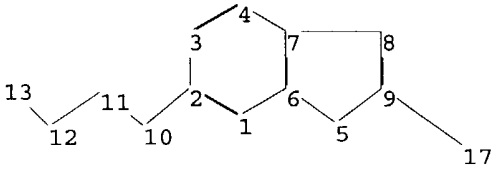
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10761265a.str





chain nodes :
10 11 12 13 17
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
2-10 9-17 10-11 11-12 12-13
ring bonds :
1-2 1-6 2-3 3-4 4-7 5-6 5-9 6-7 7-8 8-9
exact/norm bonds :
2-10 5-6 5-9 7-8 8-9 9-17 10-11 11-12 12-13
normalized bonds :
1-2 1-6 2-3 3-4 4-7 6-7
isolated ring systems :
containing 1 :

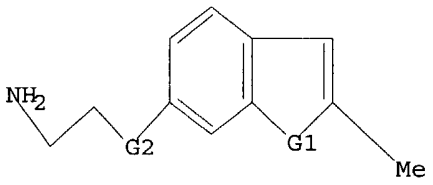
G1:O,S,CH2,NH

G2:O,S,CH2,NH

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 17:CLASS

L5 STRUCTURE UPLOADED

=> d l5
L5 HAS NO ANSWERS
L5 STR



G1 O,S,CH2,NH

G2 O,S,CH2,NH

Structure attributes must be viewed using STN Express query preparation.

```
=> s l5
SAMPLE SEARCH INITIATED 12:19:12 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   7380 TO ITERATE

 13.6% PROCESSED    1000 ITERATIONS                                0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       142452 TO   152748
PROJECTED ANSWERS:               0 TO        0

L6             0 SEA SSS SAM L5

=> s l5 sss full
FULL SEARCH INITIATED 12:19:21 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 144501 TO ITERATE

100.0% PROCESSED  144501 ITERATIONS                              14 ANSWERS
SEARCH TIME: 00.00.02

L7            14 SEA SSS FUL L5

=> FIL CAPLUS
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                               155.84     312.78

FILE 'CAPLUS' ENTERED AT 12:19:28 ON 17 JUN 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)
```

FILE COVERS 1907 - 17 Jun 2004 VOL 140 ISS 25
FILE LAST UPDATED: 16 Jun 2004 (20040616/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l7
L8 4 L7

=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.88	313.66

FILE 'REGISTRY' ENTERED AT 12:20:51 ON 17 JUN 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 16 JUN 2004 HIGHEST RN 694434-66-7
DICTIONARY FILE UPDATES: 16 JUN 2004 HIGHEST RN 694434-66-7

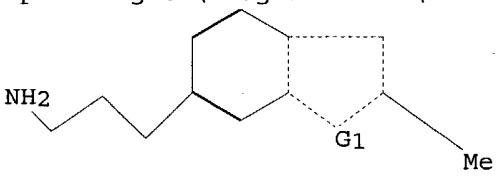
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

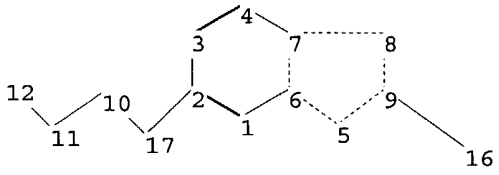
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10761265b.str





chain nodes :
10 11 12 16 17
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
2-17 9-16 10-11 10-17 11-12
ring bonds :
1-2 1-6 2-3 3-4 4-7 5-6 5-9 6-7 7-8 8-9
exact/norm bonds :
1-2 1-6 2-3 2-17 3-4 4-7 5-6 5-9 6-7 7-8 8-9 9-16 10-11 10-17 11-12
isolated ring systems :

containing 1 :

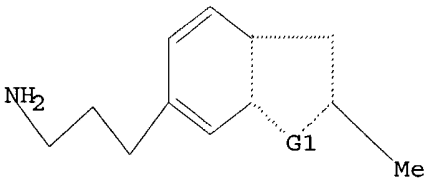
G1:O,S,CH2,NH

G2:O,S,CH2,NH

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 16:CLASS 17:CLASS

L9 STRUCTURE UPLOADED

=> d l9
L9 HAS NO ANSWERS
L9 STR



G1 O,S,CH2,NH
G2 O,S,CH2,NH

Structure attributes must be viewed using STN Express query preparation.

=> s l9
SAMPLE SEARCH INITIATED 12:21:14 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 5600 TO ITERATE

17.9% PROCESSED 1000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 107514 TO 116486
PROJECTED ANSWERS: 0 TO 0

L10 0 SEA SSS SAM L9

=> s l9 sss full
FULL SEARCH INITIATED 12:21:21 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 110001 TO ITERATE

100.0% PROCESSED 110001 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.02

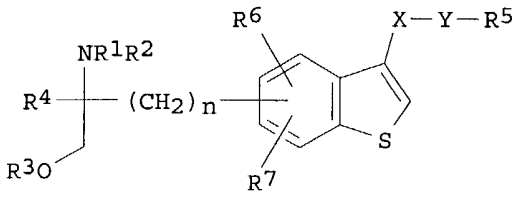
L11 0 SEA SSS FUL L9

=> d his

10761265

```
     (FILE 'HOME' ENTERED AT 12:15:52 ON 17 JUN 2004)

     FILE 'REGISTRY' ENTERED AT 12:16:09 ON 17 JUN 2004
L1                STRUCTURE UPLOADED
L2              0 S L1
L3             87 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 12:16:47 ON 17 JUN 2004
L4             22 S L3

     FILE 'REGISTRY' ENTERED AT 12:18:25 ON 17 JUN 2004
L5                STRUCTURE UPLOADED
L6              0 S L5
L7             14 S L5 SSS FULL

     FILE 'CAPLUS' ENTERED AT 12:19:28 ON 17 JUN 2004
L8              4 S L7

     FILE 'REGISTRY' ENTERED AT 12:20:51 ON 17 JUN 2004
L9                STRUCTURE UPLOADED
L10             0 S L9
L11             0 S L9 SSS FULL

=> s l4 and py<=2000
'2000' NOT A VALID FIELD CODE
              0 PY<=2000
L12           0 L4 AND PY<=2000

=> s l4 and thu
              8 THU
             13 THUS
             21 THU
                (THU OR THUS)
L13           0 L4 AND THU

=> d l4 ibib abs hitstr tot
YOU HAVE REQUESTED DATA FROM FILE 'CAPLUS' - CONTINUE? (Y)/N:y



L4   ANSWER 1 OF 22  CAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         2002:827455  CAPLUS
DOCUMENT NUMBER:          137:337773
TITLE:                    Immunosuppressant benzothiophene derivatives
INVENTOR(S):              Nishi, Takehide; Shiroshima, Takaaki; Shimozato,
                          Ryuichi; Nara, Futoshi
PATENT ASSIGNEE(S):       Sankyo Co., Ltd., Japan
SOURCE:                   Jpn. Kokai Tokkyo Koho, 67 pp.
                          CODEN: JKXXAF
DOCUMENT TYPE:            Patent
LANGUAGE:                 Japanese
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
     ---------------   ----  --------       ---------------  --------
     JP 2002316985      A2   20021031       JP 2001-122867   20010420
PRIORITY APPLN. INFO.:                   JP 2001-122867      20010420
OTHER SOURCE(S):          MARPAT 137:337773
```

GI



I

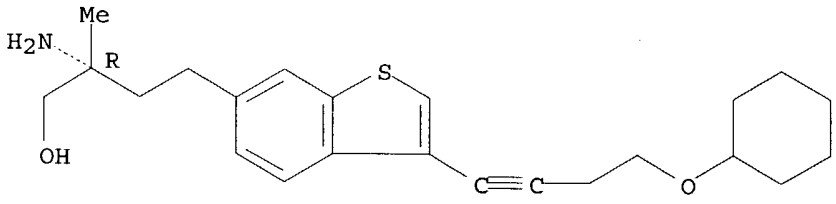
AB The derivs. I [R1, R2 = H, amino-protecting group; R3 = H, hydroxy-protecting group; R4 = lower alkyl; n = 1-6; X = CH2CH2, CH:CH, C.tplbond.C, DCH2 (D = CO, CHOH, O, S, N), aryl which may be substituted with ≥1 selected from (a) (definition given); Y = direct bond, C1-10 alkylene which may be substituted with ≥1 selected from (a) and (b) (definition given) and/or contain O or S in the chain; R5 = H, cycloalkyl, aryl, heterocyclyl, which may be substituted with ≥1 selected from (a) and (b); R6, R7 = H, any group selected from (a); if R5 = H, then Y = any group other than direct bond, n-C1-10 alkylene], their pharmacol. acceptable salts, their esters, and their derivs. show low cytotoxicity and are useful as immunosuppressants. Preparation of (2R)-amino-4-[3-(4-cyclohexyloxybut-1-ynyl)benzo[b]thiophen-6-yl]-2-methylbutan-1-ol was given. I showed high suppressive activity on host vs. graft reaction in rats.

IT **474026-29-4P 474026-34-1P 474026-35-2P 474026-36-3P 474026-37-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of immunosuppressant benzothiophene derivs. with low toxicity)

RN 474026-29-4 CAPLUS

CN Benzo[b]thiophene-6-butanol, β-amino-3-[4-(cyclohexyloxy)-1-butynyl]-β-methyl-, (βR)- (9CI) (CA INDEX NAME)

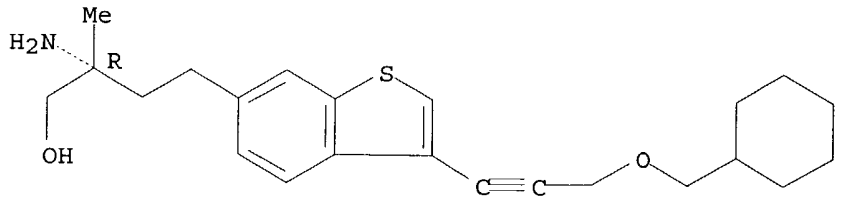
Absolute stereochemistry.



RN 474026-34-1 CAPLUS

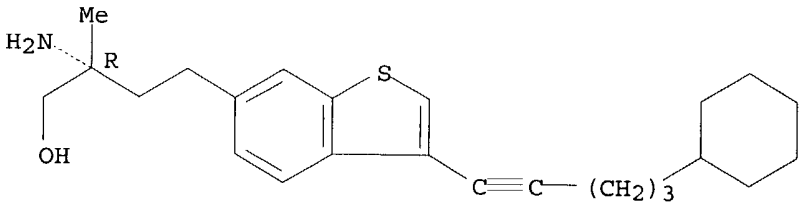
CN Benzo[b]thiophene-6-butanol, β-amino-3-[3-(cyclohexylmethoxy)-1-propynyl]-β-methyl-, (βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



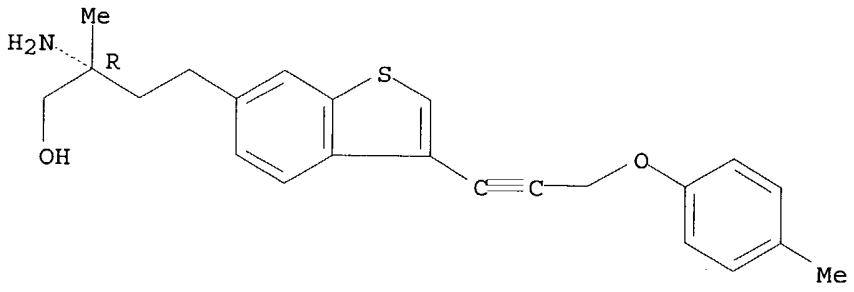
RN 474026-35-2 CAPLUS
CN Benzo[b]thiophene-6-butanol, β-amino-3-(5-cyclohexyl-1-pentynyl)-β-methyl-, (βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



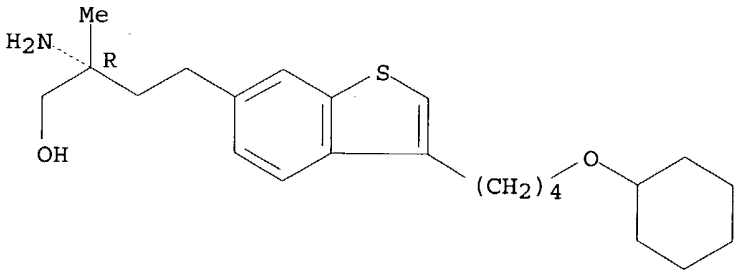
RN 474026-36-3 CAPLUS
CN Benzo[b]thiophene-6-butanol, β-amino-β-methyl-3-[3-(4-methylphenoxy)-1-propynyl]-, (βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 474026-37-4 CAPLUS
CN Benzo[b]thiophene-6-butanol, β-amino-3-[4-(cyclohexyloxy)butyl]-β-methyl-, (βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

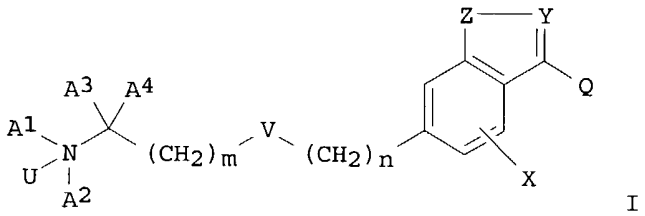


L4 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:353447 CAPLUS
DOCUMENT NUMBER: 136:369719
TITLE: Preparation of cholesterol lowering benzo[b]thiophenyl and benzo[d]isothiazolyl alkylamines
INVENTOR(S): Aebi, Johannes; Ackermann, Jean; Dehmlow, Henrietta; Maerki, Hans-Peter; Morand, Olivier
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 142 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

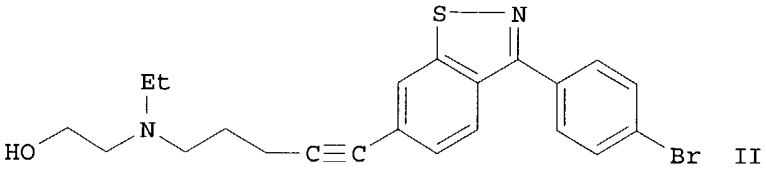
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002036584	A1	20020510	WO 2001-EP12451	20011026
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002014029	A5	20020515	AU 2002-14029	20011026
BR 2001015075	A	20030729	BR 2001-15075	20011026
EP 1334094	A1	20030813	EP 2001-982445	20011026
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004513124	T2	20040430	JP 2002-539343	20011026
US 2002086891	A1	20020704	US 2001-999424	20011031

PRIORITY APPLN. INFO.: EP 2000-123826 A 20001102
WO 2001-EP12451 W 20011026

OTHER SOURCE(S): MARPAT 136:369719
GI



I



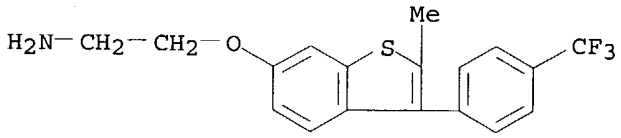
II

AB Title compds. I [wherein U = O or a lone pair; A1 = H or (un)substituted alkyl or alkenyl; A2 = cycloalkylalkyl, alkenyl, alkynyl, heteroaryl, or (un)substituted alkyl; or NA1A2 = (un)substituted heterocyclyl; A3 and A4 = independently H or alkyl; or CA3A4 = (un)substituted cycloalkyl; V = CH:CH and m and n = 0; or V = CH2 and m+n ≤ 2; or V = O or NR2 and m = 1-6 and n = 1-6 and m+n ≤ 7 or m = 1-3 and n = 0; or V = S and m = 1-7 and n = 0-6 and m+n ≤ 7; or V = C.tplbond.C and m = 0-7 and n = 0-7 and m+n ≤ 7; X = H, halo, or alkyl; Y = N or CR1; Z = S or SO2; Q = cycloalkyl(alkyl) or (un)substituted Ph; and pharmaceutically acceptable salts and/or pharmaceutically acceptable esters thereof] were prepared as 2,3-oxidosqualene-lanosterol cyclase (OSC) inhibitors. For example, trifluoromethanesulfonic acid 3-(4-bromophenyl)benzo[d]isothiazol-6-yl ester (prepared in a multi-step synthesis starting from 4-bromobenzoyl chloride, 3-fluoroanisole, and benzyl mercaptan) was coupled with 4-pentyn-1-ol using PdCl2(PPh3)2 and TEA in THF (72%). The alc. was converted to the methanesulfonate and aminated with 2-(ethylamino)ethanol to afford II. Preferred compds. of the invention inhibited human liver microsomal OSC with IC50 values of 1 nM to 10 μM. I are useful for the treatment and/or prophylaxis of hypercholesterolemia, hyperlipemia, arteriosclerosis, vascular diseases, mycoses, parasite infections, gallstones, tumors and/or hyperproliferative disorders, and treatment and/or prophylaxis of impaired glucose tolerance, and diabetes (no data).

IT **423163-76-2P**, [2-[[2-Methyl-3-(4-trifluoromethylphenyl)benzo[b]thiophen-6-yl]oxy]ethyl]amine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(cholesterol lowering agent; preparation of cholesterol lowering benzo[b]thiophenyl and benzo[d]isothiazolyl alkylamines with OSC inhibiting activity)

RN 423163-76-2 CAPLUS

CN Ethanamine, 2-[[2-methyl-3-[4-(trifluoromethyl)phenyl]benzo[b]thien-6-yl]oxy]- (9CI) (CA INDEX NAME)

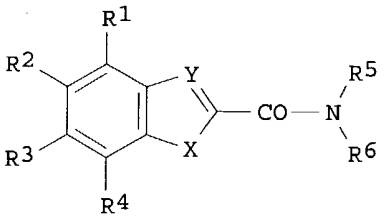


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:332162 CAPLUS
DOCUMENT NUMBER: 136:355158
TITLE: Preparation of carboxamides as NMDA receptor antagonists
INVENTOR(S): Horvath, Csilla; Farkas, Sandor; Domany, Gyoergy; Borza, Istvan; Bartane Szalai, Gizella; Nagy, Jozsef; Kolok, Sandor
PATENT ASSIGNEE(S): Richter Gedeon Vegyeszeti Gyar Rt., Hung.
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002034718	A1	20020502	WO 2001-HU99	20011015
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002010782	A5	20020506	AU 2002-10782	20011015
EP 1328514	A1	20030723	EP 2001-978687	20011015
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004512324	T2	20040422	JP 2002-537711	20011015
US 2003199552	A1	20031023	US 2003-412977	20030411

PRIORITY APPLN. INFO.: HU 2000-4123 A 20001024
WO 2001-HU99 W 20011015
OTHER SOURCE(S): MARPAT 136:355158
GI



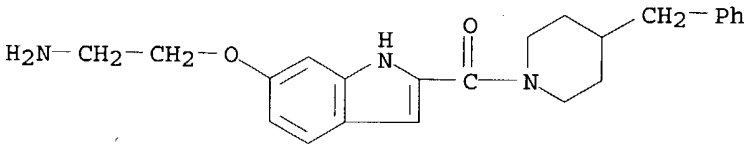
I

AB The title compds. [I; R1-R4 = H, halo, OH, etc,; two of neighboring R1-R4 groups together with one or more identical or different addnl. heteroatom and CH and/or CH2 groups can form 4-7 membered homo- or heterocyclic ring; one of R5 and R6 = H and the other = phenylcyclohexyl, alkyl; or NR5R6 = (un)substituted (un)saturated 4-6 membered heterocyclic ring; X, Y = O, N, S, CH, etc.] were prepared and formulated. Thus, reacting 5-hydroxyindole-2-carboxylic acid with 4-benzylpiperidine in the presence of Et3N and HBTU in MeCN afforded 31% I [X = NH; Y = CH; R1, R3, R4 = H; R2 = OH; NR5R6 = 4-benzylpiperidin-1-yl] which showed IC50 of 0.024 μM in NMDA receptor assay. The compds. I are highly effective and selective antagonists of NMDA receptor, and moreover most of the compds. I are selective antagonist of NR2B subtype of NMDA receptor.

IT **420136-15-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of carboxamides as NMDA receptor antagonists)

RN 420136-15-8 CAPLUS

CN Piperidine, 1-[[6-(2-aminoethoxy)-1H-indol-2-yl]carbonyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

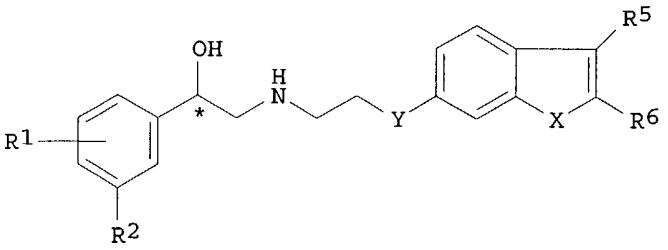
L4 ANSWER 4 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:816638 CAPLUS
DOCUMENT NUMBER: 135:357839
TITLE: Preparation of bicyclic compounds such as benzofuran, indole, benzothiofuran, and indene derivatives of phenylethanolamine as β adrenoreceptor agonists
INVENTOR(S): Ikuta, Shunichi; Miyoshi, Shiro; Ogawa, Kohei
PATENT ASSIGNEE(S): Asahi Kasei Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001083451	A1	20011108	WO 2001-JP3575	20010425

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,

BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
AU 2001052574 A5 20011112 AU 2001-52574 20010425
EP 1277736 A1 20030122 EP 2001-925911 20010425
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
US 2003191174 A1 20031009 US 2002-258817 20021028
PRIORITY APPLN. INFO.: JP 2000-130414 A 20000428
WO 2001-JP3575 W 20010425
OTHER SOURCE(S): MARPAT 135:357839
GI

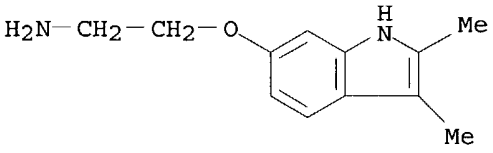


I

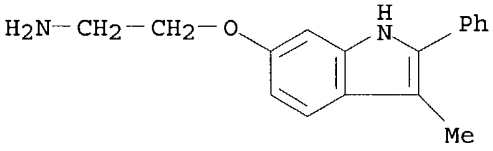
AB Compds. of the general formula (I) or salts thereof [wherein R1 is hydrogen, hydroxy, or halo; R2 is NHSO2R3, SO2NR4R4' (wherein R3 is C1-6 alkyl, benzyl, Ph, or NR4R4'; R4, R4' = H or C1-6 alkyl); R5 and R6 are each independently hydrogen, C1-6 alkyl, optionally substituted Ph, or benzyl; X is NH, sulfur, oxygen, or methylene; Y is oxygen, NR7, sulfur, methylene, or a bond (wherein R7 is H, C1-6 alkyl, or C1-6 acyl); and * represents an asym. carbon atom.] are prepared These compds. exhibit a potent and selective stimulating activity for human β3 adrenoreceptor with very little effect on increasing heart beat of guinea pigs and are useful as preventive and therapeutic drugs for diabetes, obesity, hyperlipidemia, digestive system diseases, depression, and urinary disorders. Thus, N-(3-bromoacetylphenyl)methanesulfonamide, 2-(2,3-dimethyl-1H-indol-6-yloxy)ethylamine, and Et3N were added to DMF, stirred at room temperature for 1 h, treated with a solution of NaBH4 in ethanol, and stirred at room temperature for 5 h to give, after purification on a reversed phase column, N-[3-[2-[[2-(2,3-dimethyl-1H-indol-6-yloxy)ethyl]amino]-1-hydroxyethyl]phenyl]methanesulfonamide trifluoroacetate salt (II). II was as potent as isoproterenol for stimulating the production of cAMP in CHO cell line expressing human β3 adrenoreceptor (Ed50 of 8.7 nM).

IT **372093-05-5P**, 2-(2,3-Dimethyl-1H-indol-6-yloxy)ethylamine
372093-76-0P, 6-(2-Aminoethoxy)-3-methyl-2-phenyl-1H-indole
372093-81-7P, 6-(2-Aminoethoxy)-2,3-diphenyl-1H-indole
372094-15-0P, 6-(2-Aminoethoxy)-2,3-dimethylbenzofuran hydrobromide **372094-24-1P**, 6-(2-Aminoethoxy)-2,3-dimethylbenzothiophene hydrobromide **372094-69-4P**
372094-72-9P, 2-(2,3-Dimethylbenzofuran-6-yloxy)ethylamine
372094-77-4P, 2-(2,3-Dimethylbenzothiophen-6-yloxy)ethylamine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of bicyclic compds. such as benzofuran, indole, benzothiofuran, and indoline derivs. of phenylethanolamine as β adrenoreceptor agonists and preventive and therapeutic drugs)

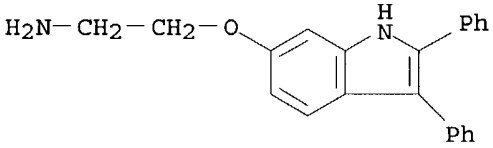
RN 372093-05-5 CAPLUS
CN Ethanamine, 2-[(2,3-dimethyl-1H-indol-6-yl)oxy]- (9CI) (CA INDEX NAME)



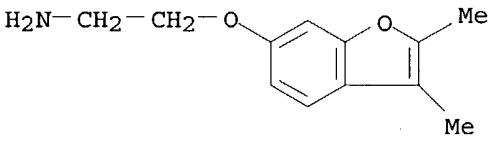
RN 372093-76-0 CAPLUS
CN Ethanamine, 2-[(3-methyl-2-phenyl-1H-indol-6-yl)oxy]- (9CI) (CA INDEX NAME)



RN 372093-81-7 CAPLUS
CN Ethanamine, 2-[(2,3-diphenyl-1H-indol-6-yl)oxy]- (9CI) (CA INDEX NAME)

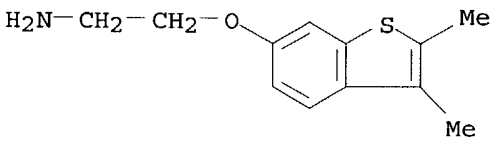


RN 372094-15-0 CAPLUS
CN Ethanamine, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-, hydrobromide (9CI) (CA INDEX NAME)



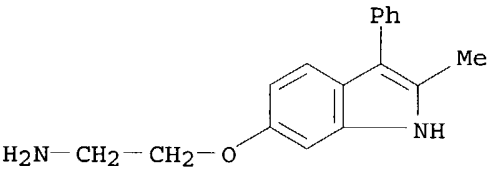
● HBr

RN 372094-24-1 CAPLUS
CN Ethanamine, 2-[(2,3-dimethylbenzo[b]thien-6-yl)oxy]-, hydrobromide (9CI) (CA INDEX NAME)

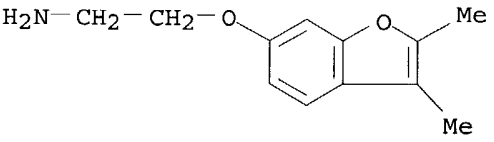


● HBr

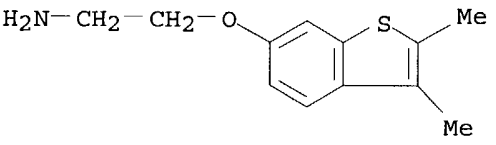
RN 372094-69-4 CAPLUS
CN Ethanamine, 2-[(2-methyl-3-phenyl-1H-indol-6-yl)oxy]- (9CI) (CA INDEX NAME)



RN 372094-72-9 CAPLUS
CN Ethanamine, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]- (9CI) (CA INDEX NAME)



RN 372094-77-4 CAPLUS
CN Ethanamine, 2-[(2,3-dimethylbenzo[b]thien-6-yl)oxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

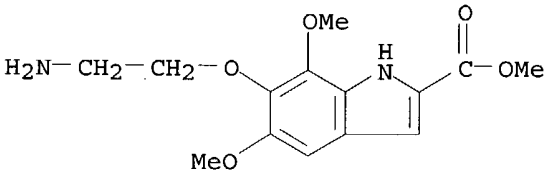
L4 ANSWER 5 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:697801 CAPLUS
DOCUMENT NUMBER: 134:136596
TITLE: Synthesis and HPLC analysis of enzymatically cleavable linker consisting of poly(ethylene glycol) and dipeptide for the development of immunoconjugate
AUTHOR(S): Suzawa, T.; Nagamura, S.; Saito, H.; Ohta, S.; Hanai, N.; Yamasaki, M.
CORPORATE SOURCE: Tokyo Research Laboratories, Kyowa Hakko Kogyo Co.,

Ltd., Asahi-machi, Machida-shi, Tokyo, 194-8533, Japan
SOURCE: Journal of Controlled Release (2000), 69(1), 27-41
CODEN: JCREEC; ISSN: 0168-3659
PUBLISHER: Elsevier Science Ireland Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A model compound of antitumor agent, segment B of duocarmycin derivative DU-86, was conjugated to tumor-specific antibody via a cleavable linker consisting of poly(ethylene glycol) (PEG) and dipeptide, l-alanyl-l-valine (Ala-Val), to confirm the feasibility of the linker for application to immunoconjugate. The release of segment B from the linker was evaluated by HPLC anal. When segment B was derivatized to have an amino residue and then linked to PEG through a dipeptide, segment B was cleaved at the peptide bond by a particular enzyme, thermolysin (EC 3.4.24.4), but not by plasmin (EC 3.4.2 1.7), indicating that certain protease specifically expressed at the tumor site would be capable of peptide-specific digestion and release of anti-tumor agent since a thermolysin-like enzyme has been reported to be expressed at many tumor cells. Furthermore, the results showing that cell extract from G361 human melanoma had an ability to digest the linker peptide while the linker was stable in normal human serum suggested the tumor-specific activation of the conjugated agent. Segment B was conjugated via the linker to murine monoclonal antibody KM641 reactive to GD3 ganglioside to form immunoconjugate and the quant. release of segment B under the treatment with the enzyme was also confirmed. These results indicate the possibility of double targeting based on both the recognition ability of tumor specific antibody and tumor specific activation of the antitumor agents to enhance tumor treatment efficacy and to decrease unwanted side effects.

IT **185218-72-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and HPLC anal. of enzymically cleavable linker consisting of PEG and dipeptide for development of immunoconjugate)

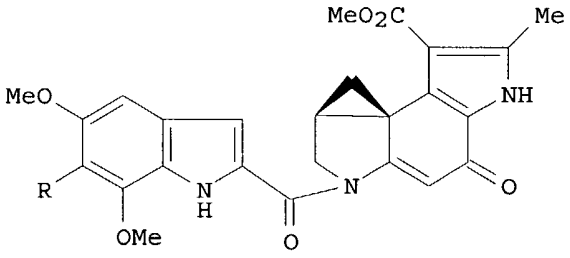
RN 185218-72-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 6-(2-aminoethoxy)-5,7-dimethoxy-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:564511 CAPLUS
DOCUMENT NUMBER: 133:335107
TITLE: Synthesis of a novel duocarmycin derivative DU-257 and its application to immunoconjugate using poly(ethylene glycol)-dipeptidyl linker capable of tumor specific activation
AUTHOR(S): Suzawa, T.; Nagamura, S.; Saito, H.; Ohta, S.; Hanai, N.; Yamasaki, M.
CORPORATE SOURCE: Tokyo Research Laboratories, Kyowa Hakko Kogyo Co., Ltd, Tokyo, 194-8533, Japan

SOURCE: Bioorganic & Medicinal Chemistry (2000), 8(8), 2175-2184
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

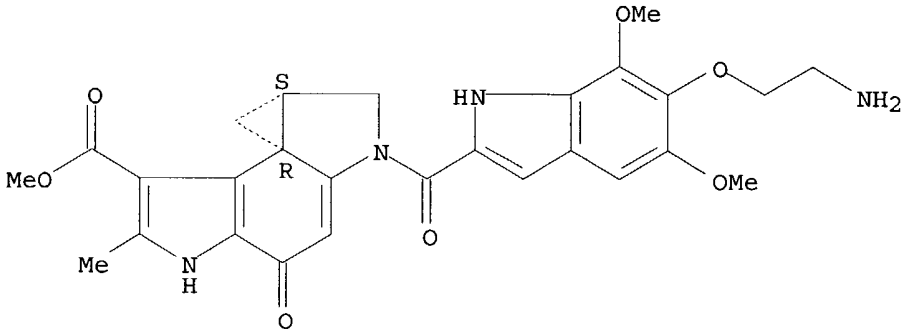
AB Novel anti-tumor agent, duocarmycin derivative DU-257 [I; R = H2NCH2CH2O, (II)], was designed and synthesized to prepare immunoconjugate in order to confirm the feasibility of enzymically cleavable linker consisting of poly(ethylene glycol) (PEG) and dipeptide, L-alanyl-L-valine. Oxyethylamine arm was introduced at 4-methoxy position of segment B of DU-86 [I; R = OMe, (III)] to form II and evaluated its property. II retained similar stability and potency with III while enhanced hydrophilicity suggested. II was condensed to the PEG-dipeptidyl linker through carboxyl terminal of dipeptide, and enzymic release of II using a model enzyme, thermolysin, similar enzyme of which was shown to be overexpressed at various tumor sites, was evaluated by HPLC anal. Cleavage between the linker amino acids by the model protease and release of II as valine conjugated form was confirmed. The enzymically released form of II expressed its cytotoxicity without loss of the potency for HeLaS3 and SW1116 tumor cell lines, although the efficacy was different in individual cells. II was then conjugated through the linker to KM231 monoclonal antibody specifically reactive to GD3 antigen which was shown to be expressed on the surface of many malignant tumors such as SW1116. The conjugate retained its binding specificity for SW1116 cell with a similar activity with KM231. Furthermore, the conjugate showed significant growth inhibition on SW1116 cell at a concentration of 75 μg/mL while no effect on antigen neg. cell, HeLaS3. These results suggest that the conjugate retained its anti-tumor effect only when it bound on and was activated at the target cell, simultaneously. II will be one of the candidate of anti-tumor agent for application to immunoconjugate and its conjugate with KM231 via PEG-dipeptidyl linker will be a useful entity for cancer therapy related to sLea expression.

IT **185218-65-9P**, DU 257
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of a novel duocarmycin derivative DU-257 and its application to immunoconjugate using poly(ethylene glycol)-dipeptidyl linker capable of tumor specific activation)

RN 185218-65-9 CAPLUS

CN Cyclopropa[c]pyrrolo[3,2-e]indole-7-carboxylic acid, 2-[[6-(2-aminoethoxy)-5,7-dimethoxy-1H-indol-2-yl]carbonyl]-1,2,4,5,8,8a-hexahydro-6-methyl-4-oxo-, methyl ester, (7bR,8aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:535130 CAPLUS
DOCUMENT NUMBER: 133:135218
TITLE: Preparation of aminoalkylbenzofurans as 5-HT2C agonists
INVENTOR(S): Briner, Karin; Burkhart, Joseph Paul; Burkholder, Timothy Paul; Fisher, Matthew Joseph; Gritton, William Harlan; Kohlman, Daniel Timothy; Liang, Sidney Xi; Miller, Shawn Christopher; Mullaney, Jeffrey Thomas; Xu, Yao-Chang; Xu, Yanping
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 135 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000044737	A1	20000803	WO 2000-US1342	20000119
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1149085	A1	20011031	EP 2000-904438	20000119
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002535396	T2	20021022	JP 2000-595993	20000119

PRIORITY APPLN. INFO.: US 1999-117385P P 19990127
WO 2000-US1342 W 20000119
OTHER SOURCE(S): MARPAT 133:135218
AB RZCR4R41CR5R51NH2 [R = (un)substituted benzofuran-4-, -5-, -6-, or -7-yl; R4,R41,R5 = H, alkyl, CH2Ph; R51 = H; R4R41,R5R51 = CH2CH2; Z = bond, CH2, alkylidene, CHCH2Ph] were prepared as 5-HT2C agonists (no data). Thus,

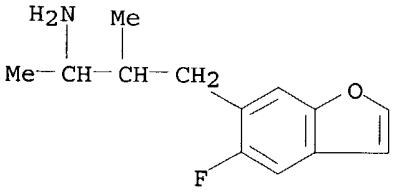
4-BrC6H4OH was etherified by BrCH2CH(OEt)2 and the product cyclized to give 5-bromobenzofuran which was condensed with CH2:CMeOAc and the product reductively aminated to give α-methylbenzofuran-5-ethanamine.

IT **286835-89-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminoalkylbenzofurans as 5-HT2C agonists)

RN 286835-89-0 CAPLUS
CN 6-Benzofuranpropanamine, 5-fluoro-α,β-dimethyl-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

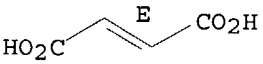
CRN 286835-88-9
CMF C13 H16 F N O



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

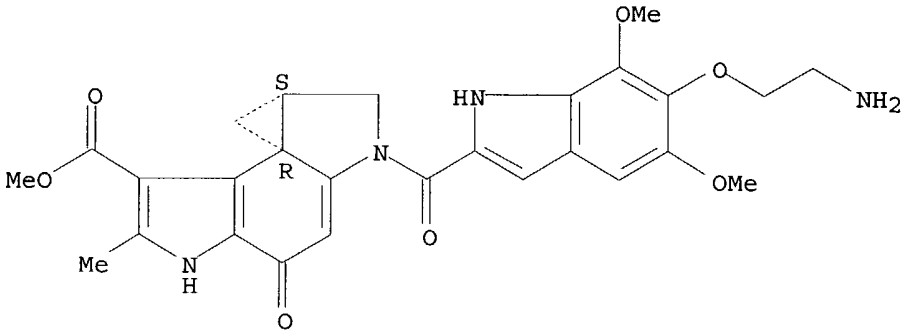


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:752945 CAPLUS
DOCUMENT NUMBER: 128:22811
TITLE: Benzofurans and benzopyrans as chronobiological agents
INVENTOR(S): Ellis, Frank; Panchal, Terence Aaron; North, Peter Charles; Cooke, Jason William Beames; Dolan, Simon Charles
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

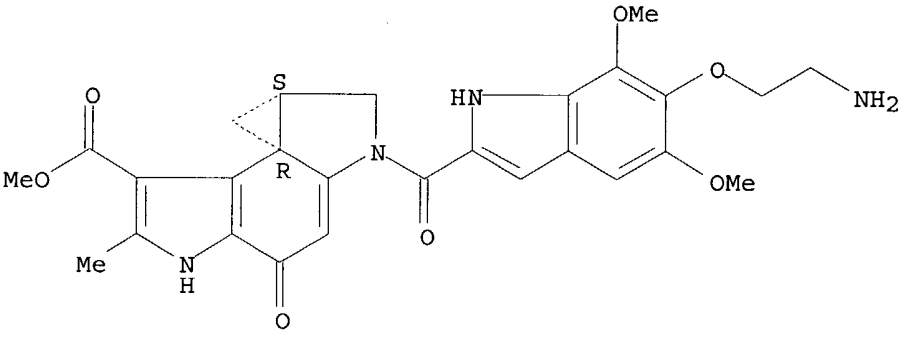
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9743272	A2	19971120	WO 1997-EP2402	19970513

Absolute stereochemistry.

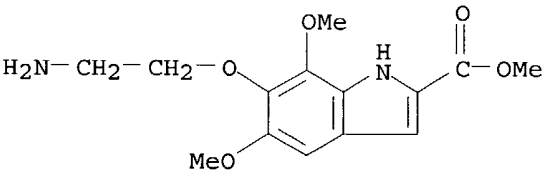


IT **185218-65-9P 185218-72-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of antitumor toxin complex via spacer containing polyalkylene glycol and dipeptide)
RN 185218-65-9 CAPLUS
CN Cyclopropa[c]pyrrolo[3,2-e]indole-7-carboxylic acid, 2-[[6-(2-aminoethoxy)-5,7-dimethoxy-1H-indol-2-yl]carbonyl]-1,2,4,5,8,8a-hexahydro-6-methyl-4-oxo-, methyl ester, (7bR,8aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 185218-72-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 6-(2-aminoethoxy)-5,7-dimethoxy-, methyl ester (9CI) (CA INDEX NAME)

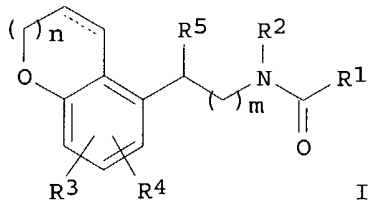


L4 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:155520 CAPLUS
DOCUMENT NUMBER: 124:202005
TITLE: Heterocyclylcarbonyl-substituted benzofuranyl- and

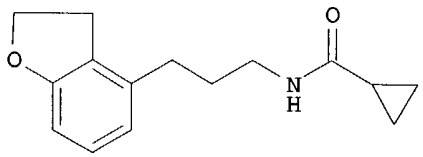
WO 9743272		A3	19980326			
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW:	GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
AU 9729531		A1	19971205	AU 1997-29531		19970513
AU 727416		B2	20001214			
ZA 9704123		A	19981113	ZA 1997-4123		19970513
EP 901483		A2	19990317	EP 1997-923868		19970513
EP 901483		B1	20030709			
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
BR 9708949		A	19990803	BR 1997-8949		19970513
CN 1228775		A	19990915	CN 1997-196252		19970513
JP 2000511518		T2	20000905	JP 1997-540502		19970513
AT 244708		E	20030715	AT 1997-923868		19970513
PT 901483		T	20031128	PT 1997-923868		19970513
ES 2202615		T3	20040401	ES 1997-923868		19970513
US 5981572		A	19991109	US 1998-180441		19981106
KR 2000011021		A	20000225	KR 1998-709171		19981113
HK 1018901		A1	20031128	HK 1999-103991		19990914
PRIORITY APPLN. INFO.:				GB 1996-10032	A	19960514
				GB 1996-23775	A	19961115
				WO 1997-EP2402	W	19970513

OTHER SOURCE(S): MARPAT 128:22811

GI



I

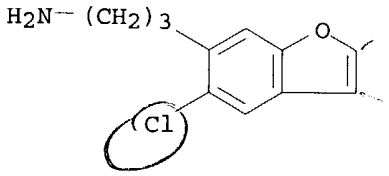


II

AB Title compds. I and their pharmaceutically acceptable salts are disclosed [wherein R1, R2 = H, C1-6 alkyl, C3-7 cycloalkyl, or aryl; R3, R4 = H, halo, C1-6 alkyl, or substituted aryl; R5 = H or C1-6 alkyl; n = 0, 1, or 2; m = 1, 2, 3, or 4; dotted line = optional pi bond]. The compds. have high affinity and selectivity for binding to melatonin receptors (no data), and are useful for treatment of disorders of melatonin-regulated systems, e.g., chronobiol. disorders. Examples include the prepns. of approx. 28 compds. and 35 intermediates. For instance, 7-chloro-4-methylbenzofuran underwent a sequence of benzylic bromination, oxidation to an aldehyde with N-methylmorpholine N-oxide, Wittig-type reaction with di-Et cyanomethylphosphonate, and hydrogenation with dechlorination, to give 3-(2,3-dihydrobenzofuran-4-yl)propylamine-HCl. The latter was converted to the free base and condensed with cyclopropanecarbonyl chloride to give title compound II.

IT **199391-55-4P**, 3-(5-Chlorobenzofuran-6-yl)propylamine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of benzofurans and benzopyrans as melatoninergic

chronobiol. agents)
RN 199391-55-4 CAPLUS
CN 6-Benzofuranpropanamine, 5-chloro- (9CI) (CA INDEX NAME)



L4 ANSWER 9 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:44674 CAPLUS
DOCUMENT NUMBER: 126:65386
TITLE: Preparation of antitumor toxin complexes
INVENTOR(S): Suzawa, Toshiyuki; Yamasaki, Motoo; Nagamura, Satoru; Saito, Hiromitsu; Ohta, So; Hanai, Nobuo
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9635451	A1	19961114	WO 1996-JP1241	19960510
W: CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2220339	AA	19961114	CA 1996-2220339	19960510
EP 867190	A1	19980930	EP 1996-913722	19960510
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6103236	A	20000815	US 1997-981416	19971110
US 6638509	B1	20031028	US 2000-500243	20000208

PRIORITY APPLN. INFO.: JP 1995-111933 A 19950510
WO 1996-JP1241 W 19960510
US 1997-981416 A3 19971110

AB A toxin complex is prepared by bonding a residue of a compound having target cell affinity and a residue of toxin via a spacer containing a polyalkylene glycol and a dipeptide. The compds. which show cell affinity include tumor-specific antibody and its fragments. For example, HO-PEG-Ala-Val-adriamycin reaction products with NL-1 (acute lymphocytic leukemia antibody) was prepared and its antiproliferative effect against Daudi Burkitt's lymphoma cells was tested.

IT **185218-65-9DP**, reaction products with PEG-Ala-Val-OH derivative and antibody
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antitumor toxin complex via spacer containing polyalkylene glycol and dipeptide)

RN 185218-65-9 CAPLUS
CN Cyclopropa[c]pyrrolo[3,2-e]indole-7-carboxylic acid, 2-[[6-(2-aminoethoxy)-5,7-dimethoxy-1H-indol-2-yl]carbonyl]-1,2,4,5,8,8a-hexahydro-6-methyl-4-oxo-, methyl ester, (7bR,8aS)- (9CI) (CA INDEX NAME)

-thienylalkanecarboxyclic acid derivatives useful as antiinflammatories.

INVENTOR(S): Fischer, Ruediger; Braeunlich, Gabriele; Es-Sayed, Mazen; Hanko, Rudolf; Tudhope, Stephen; Sturton, Graham; Abram, Trevor; Fitzgerald, Mary F.
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 24 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 685479	A1	19951206	EP 1995-107603	19950518
EP 685479	B1	19990113		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AT 175671	E	19990115	AT 1995-107603	19950518
ES 2127965	T3	19990501	ES 1995-107603	19950518
US 5691359	A	19971125	US 1995-447661	19950523
CA 2150305	AA	19951201	CA 1995-2150305	19950526
JP 07330763	A2	19951219	JP 1995-152738	19950529

PRIORITY APPLN. INFO.: GB 1994-10877 19940531
OTHER SOURCE(S): MARPAT 124:202005
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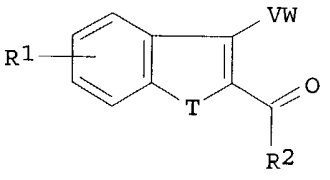

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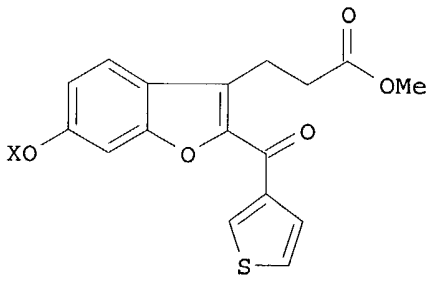


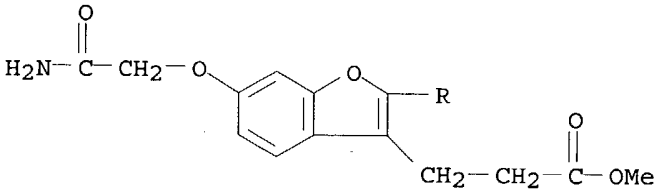
II

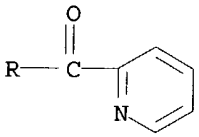
AB Title compds. I [R1 = H, halo, CO2H, cyano, NO2, CF3, OR3, SR4; R2 = (un)substituted heterocyclyl; R3, R4 = cycloalkyl, H, (un)substituted heterocyclyl, alkyl, alkenyl, etc.; T = O, S; V = alkylene, alkenylene; W = cyano, tetrazolyl, CO2H or derivs., PO3H2 or derivs.] and salts are disclosed. I can be used for the preparation of medicaments, particularly those for treatment and prevention of acute and chronic inflammatory processes. I inhibit production of superoxide by polymorphonuclear leukocytes, without impairing other cell functions; inhibition is mediated by elevation of cAMP, probably due to inhibition of type IV phosphodiesterase (no data). I are prepared by reacting appropriately substituted hydroxybenzenebutanoic acid esters with heterocyclic ketones. Thus, 2,4-dihydroxy-γ-oxobenzenebutanoic acid Me ester was protected at 4-OH by 3,4-dihydro-2H-pyran (49%) and the product was cyclocondensed with 2-bromo-1-(3-thienyl)ethanone in the presence of K2CO3 (41%) to give title compound II [X = 2-tetrahydropyranyl]. Methanolysis of this in the presence of p-MeC6H4SO3H gave 72% II [X = H].

IT **174348-81-3P 174348-82-4P 174348-83-5P**
174348-90-4P 174348-92-6P 174348-94-8P
174348-96-0P 174348-98-2P 174349-00-9P

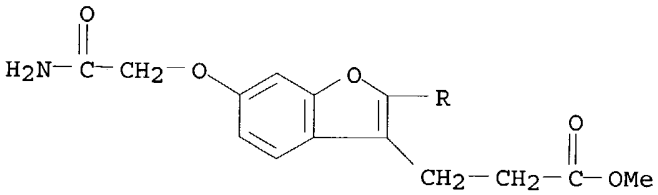
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzofuran and benzothiophene derivs. as antiinflammatories)

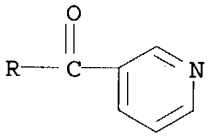
RN 174348-81-3 CAPLUS
CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(2-pyridinylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)



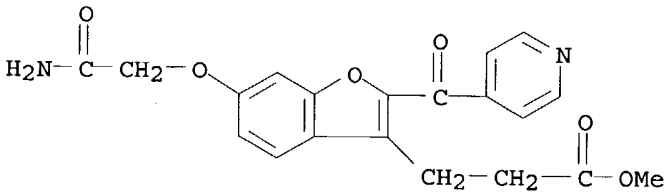


RN 174348-82-4 CAPLUS
CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(3-pyridinylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)

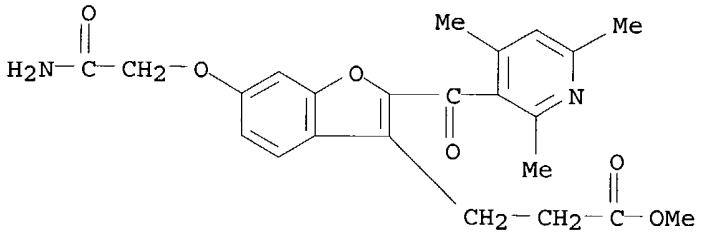




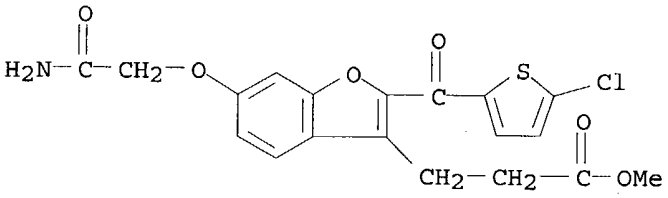
RN 174348-83-5 CAPLUS
CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(4-pyridinylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)



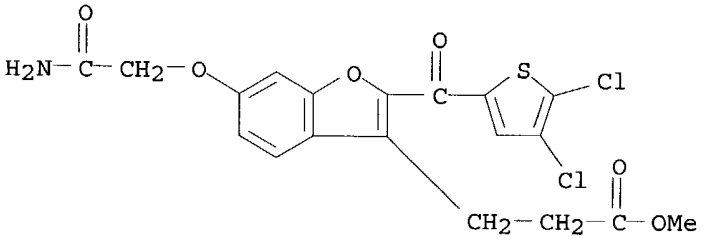
RN 174348-90-4 CAPLUS
CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-[(2,4,6-trimethyl-3-pyridinyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



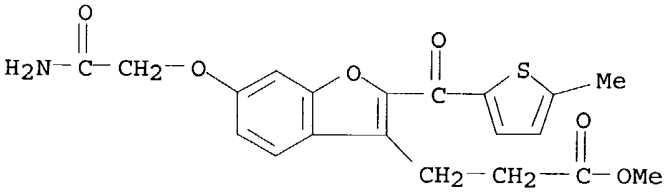
RN 174348-92-6 CAPLUS
CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-[(5-chloro-2-thienyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 174348-94-8 CAPLUS
CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-[(4,5-dichloro-2-thienyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

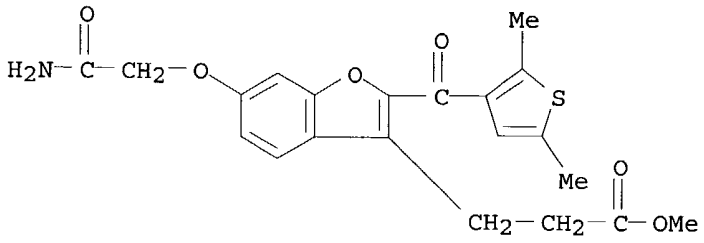


RN 174348-96-0 CAPLUS
CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-[(5-methyl-2-thienyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

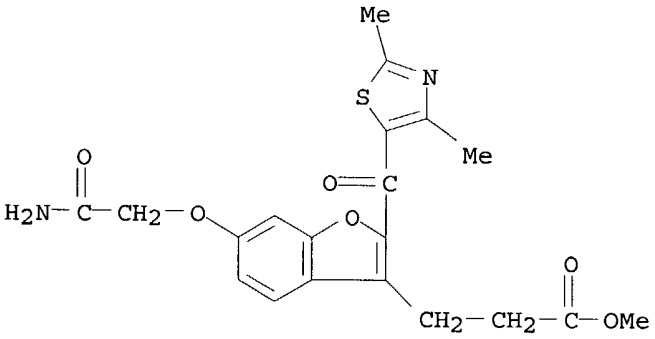


RN 174348-98-2 CAPLUS

CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-[(2,5-dimethyl-3-thienyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 174349-00-9 CAPLUS
CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-[(2,4-dimethyl-5-thiazolyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:155503 CAPLUS
DOCUMENT NUMBER: 124:202002
TITLE: Preparation of aminobenzofuran and aminobenzothiophene derivatives as antiinflammatory agents.
INVENTOR(S): Braeunlich, Gabriele; Fischer, Ruediger; Es-sayed, Mazen; Hanko, Rudolf; Tudhope, Stephen; Sturton, Graham; Abram, Trevor; Mcdonald-Gibson, Wendy J.; Fitzgerald, Mary F.
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 77 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

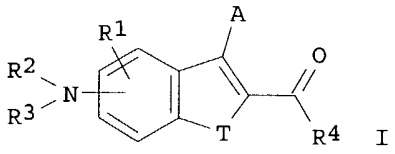
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 685475	A1	19951206	EP 1995-107606	19950518
EP 685475	B1	19990113		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AT 175667	E	19990115	AT 1995-107606	19950518
ES 2127966	T3	19990501	ES 1995-107606	19950518
US 5622989	A	19970422	US 1995-449402	19950523

CA 2150300	AA	19951201	CA 1995-2150300	19950526
JP 07330751	A2	19951219	JP 1995-153845	19950529

PRIORITY APPLN. INFO.:
GB 1994-10868 19940531
GB 1994-10878 19940531
GB 1994-10879 19940531

OTHER SOURCE(S): MARPAT 124:202002

GI



I

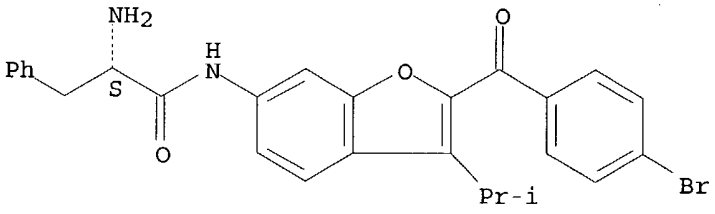
AB Title compds. I [R1 = H, alkyl, halo, etc.; R2 = acyl, alkoxy, alkoxycarbonyl, etc.; R3 = H, alkyl, acyl, alkoxy, etc.; R4 = substituted phenyl; T = O, S; A = H, HO, cycloalkyl, carboxy, etc.] were prepared Hydrolysis of 6-aminobenzofuran I (R1 = R3 = H; R2 = MeCO; R4 = 4-MeSC6H4; T = O; A = MeOCOCH2CH2) afforded 88% I (R1 = R3 = H; R2 = MeCO; R4 = 4-MeSC6H4; T = O; A = CH2CH2COOH) which showed IC50 of 0.42 μM against FMLP-stimulated production of superoxide radical anions. Compds. I are effective at 0.1-10 mg/kg.

IT **174346-45-3P 174346-47-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminobenzofuran and aminobenzothiophene derivs. as antiinflammatory agents.)

RN 174346-45-3 CAPLUS

CN Benzenepropanamide, α-amino-N-[2-(4-bromobenzoyl)-3-(1-methylethyl)-6-benzofuranyl]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

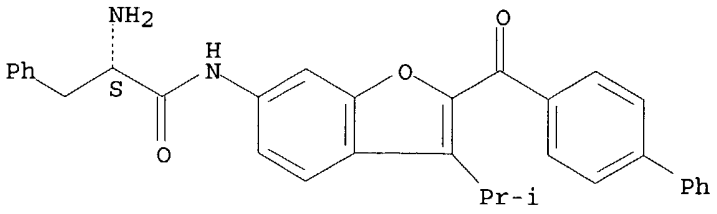


● HCl

RN 174346-47-5 CAPLUS

CN Benzenepropanamide, α-amino-N-[2-([1,1'-biphenyl]-4-ylcarbonyl)-3-(1-methylethyl)-6-benzofuranyl]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

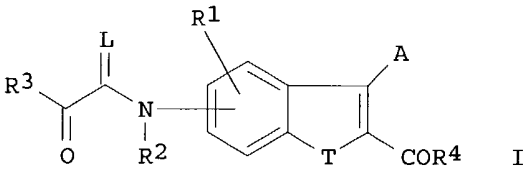


● HCl

L4 ANSWER 12 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:155502 CAPLUS
DOCUMENT NUMBER: 124:202004
TITLE: (Oxalylamino)benzofuranyl and -benzothienyl derivatives as inflammation inhibitors
INVENTOR(S): Braeunlich, Gabriele; Fischer, Ruediger; Es-Sayed, Mazen; Hanko, Rudolf; Tudhope, Stephen; Sturton, Graham; Abram, Trevor; Mcdonald-Gibson, Wendy J.; Fitzgerald, Mary F.
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 148 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 685474	A1	19951206	EP 1995-107604	19950518
EP 685474	B1	19980916		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AT 171172	E	19981015	AT 1995-107604	19950518
ES 2124461	T3	19990201	ES 1995-107604	19950518
US 5565488	A	19961015	US 1995-448212	19950523
AU 9520286	A1	19951207	AU 1995-20286	19950525
AU 695464	B2	19980813		
CA 2150301	AA	19951201	CA 1995-2150301	19950526
FI 9502612	A	19951201	FI 1995-2612	19950529
JP 08003154	A2	19960109	JP 1995-153826	19950529
IL 113894	A1	19990620	IL 1995-113894	19950529
NO 9502129	A	19951201	NO 1995-2129	19950530
ZA 9504400	A	19960124	ZA 1995-4400	19950530
HU 71597	A2	19960129	HU 1995-1569	19950530
CN 1119187	A	19960327	CN 1995-106609	19950530
RO 113642	B1	19980930	RO 1995-1066	19950530
LV 11467	B	19961220	LV 1995-146	19950531

PRIORITY APPLN. INFO.: GB 1994-10863 A 19940531
GB 1994-10891 A 19940531
OTHER SOURCE(S): MARPAT 124:202004
GI



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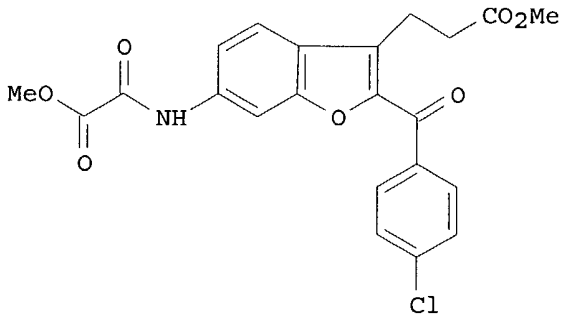


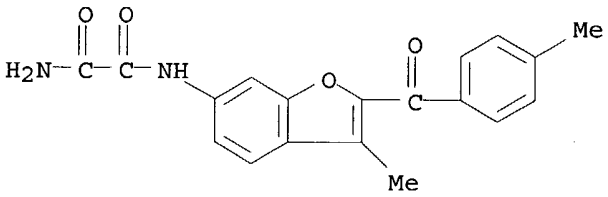
II

AB Title derivs. I wherein: L = O, S; R1 = e.g., H, straight-chain or branched alkyl having up to 6 carbon atoms; R2 = H or straight-chain or branched alkyl having up to 6 carbon atoms; R3 = e.g., OH, benzyloxy or straight-chain or branched alkyl or alkoxy having up to 10 carbon atoms; T = O or S; A = e.g., H, OH, cycloalkyl having up to 6 carbon atoms; R4 = e.g., Ph or a 5 to 7 membered saturated or unsatd. heterocycle, are claimed that are suitable for the prevention and treatment of acute and chronic inflammatory processes, particularly of the airways. Thus, e.g., treatment of 3-[6-amino-2-(4-chlorobenzoyl)-3-benzofuranyl]propanoic acid Me ester (prepared by deprotection of the 6-acetamido precursor) with MeCOCOCl afforded the 6-(2-methoxy-2-oxoacetamido) derivative II which inhibited FMLP-stimulated production of superoxide radical anions with IC50 = 0.17 μM.

IT **174340-24-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
((oxalylamino)benzofuranyl and -benzothienyl derivs. as inflammation inhibitors)

RN 174340-24-0 CAPLUS

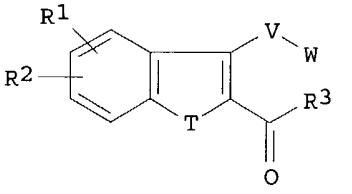
CN Ethanediamide, [3-methyl-2-(4-methylbenzoyl)-6-benzofuranyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:382651 CAPLUS
DOCUMENT NUMBER: 122:160466
TITLE: Benzofuranyl- and -thienylalkanecarboxylic acid derivatives useful as antiinflammatories
INVENTOR(S): Fischer, Ruediger; Braeunlich, Gabriele; Mohrs, Klaus-Helmut; Hanko, Rudof; Butler-Ransohoff, John-Edward; Es-Sayed, Mazen; Sturton, Graham; Tudhope, Steve; Abram, Trevor; Mcdonald-Gibson, Wendy J.
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 79 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 623607	A1	19941109	EP 1994-106320	19940422
EP 623607	B1	19980715		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AU 9460558	A1	19941110	AU 1994-60558	19940419
AU 678814	B2	19970612		
AT 168373	E	19980815	AT 1994-106320	19940422
ES 2118283	T3	19980916	ES 1994-106320	19940422
US 5504213	A	19960402	US 1994-236796	19940429
JP 06329652	A2	19941129	JP 1994-115923	19940502
JP 3452088	B2	20030929		
CA 2122788	AA	19941107	CA 1994-2122788	19940503
FI 9402049	A	19941107	FI 1994-2049	19940504
NO 9401662	A	19941107	NO 1994-1662	19940505
ZA 9403100	A	19950109	ZA 1994-3100	19940505
RU 2125564	C1	19990127	RU 1994-15838	19940505
CN 1097749	A	19950125	CN 1994-104909	19940506
HU 67847	A2	19950529	HU 1994-1415	19940506

PRIORITY APPLN. INFO.: GB 1993-9324 A 19930506
OTHER SOURCE(S): MARPAT 122:160466
GI



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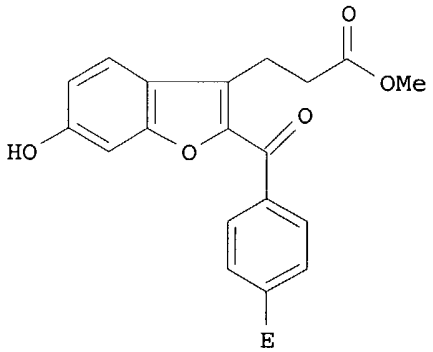


II

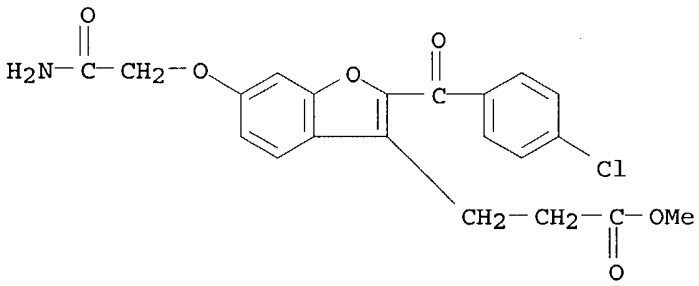
AB Title compds. I [R1, R2 = H, halo, CO2H, cyano, NO2, CF3, (un)substituted OH, SH, or NH2; R3 = mono- to trisubstituted Ph; T = O, S; V = straight or branched C2-8 alkylene or alkenylene; W = cyano, tetrazolyl, CO2H or certain esters or amides, PO3H2 or certain esters, 4,4-dimethyl-2-oxazolin-2-yl] are prepared as antiinflammatories. I inhibit production of superoxide by polymorphonuclear leukocytes (PMN), mediated by elevation of cellular cAMP due to inhibition of type IV phosphodiesterase. Synthetic methods include cyclization of hydroxyacetophenones and related compds., and Wittig reaction of benzofuranyl aldehydes. For example, the diphenolic keto ester 2,4-(HO)2C6H3COCH2CH2CO2Me underwent tetrahydropyranylation of the 4-OH group (56%), cyclocondensation with 4-BrC6H4COCH2Br using K2CO3 in refluxing acetone (65.1%), and removal of the tetrahydropyranyl protecting group with p-MeC6H4SO3H in MeOH (86%), to give title compound II (E = Br). Incubation of PMN in vitro with the analogously prepared II (E = Cl) at 1 μM increased cAMP to 394% of control. At 25 mg/kg orally, II (E = Cl) gave 46% inhibition of FMLP-induced skin edema in guinea pigs. Approx. 290 I (T = O) were prepared

IT **161223-01-4P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of benzofuranyl- and benzothienylalkanecarboxylates as antiinflammatories)

RN 161223-01-4 CAPLUS

CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(4-chlorobenzoyl)-, methyl ester (9CI) (CA INDEX NAME)

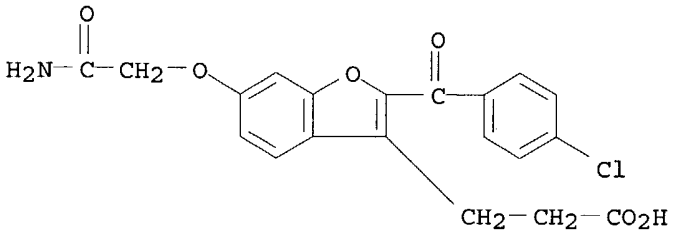


IT **161223-42-3P 161223-48-9P 161223-49-0P**
161223-58-1P 161223-60-5P 161223-62-7P
161223-64-9P 161223-66-1P 161223-68-3P
161223-70-7P 161223-72-9P 161223-74-1P
161223-76-3P 161223-78-5P 161223-84-3P
161223-85-4P 161223-87-6P 161223-88-7P
161223-89-8P 161223-90-1P 161223-91-2P
161224-05-1P 161224-06-2P 161224-35-7P
161224-64-2P 161224-65-3P

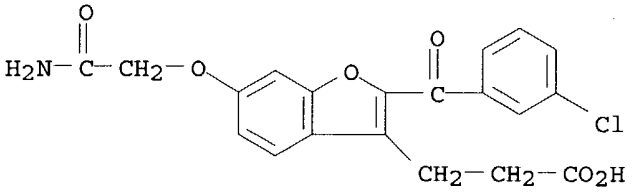
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzofuranyl- and benzothienylalkanecarboxylates as antiinflammatories)

RN 161223-42-3 CAPLUS

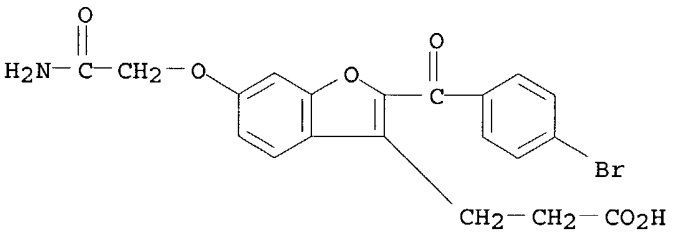
CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(4-chlorobenzoyl)- (9CI) (CA INDEX NAME)



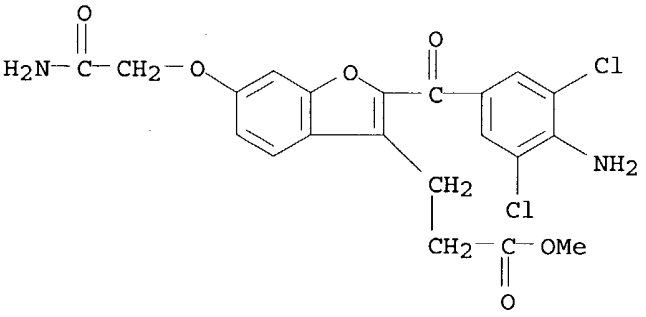
RN 161223-48-9 CAPLUS
CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(3-chlorobenzoyl)-(9CI) (CA INDEX NAME)



RN 161223-49-0 CAPLUS
CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(4-bromobenzoyl)-(9CI) (CA INDEX NAME)

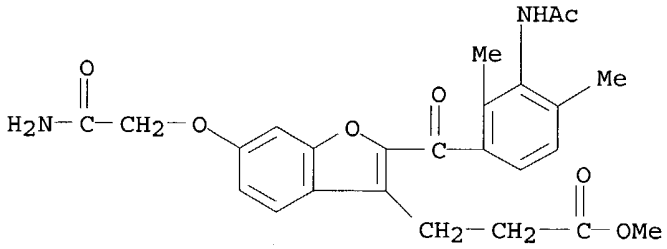


RN 161223-58-1 CAPLUS
CN 3-Benzofuranpropanoic acid, 2-(4-amino-3,5-dichlorobenzoyl)-6-(2-amino-2-oxoethoxy)-, methyl ester (9CI) (CA INDEX NAME)

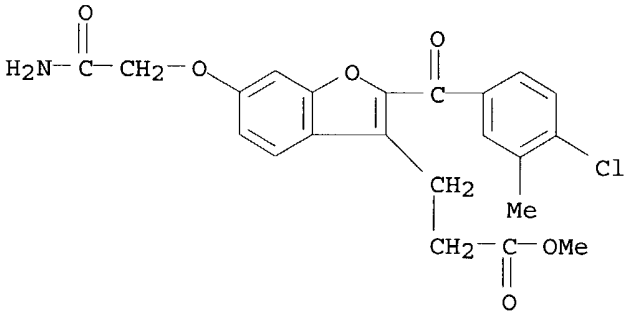


RN 161223-60-5 CAPLUS

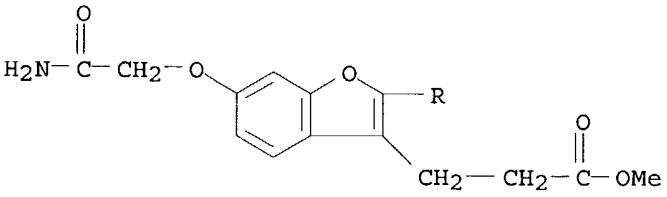
CN 3-Benzofuranpropanoic acid, 2-[3-(acetylamino)-2,4-dimethylbenzoyl]-6-(2-amino-2-oxoethoxy)-, methyl ester (9CI) (CA INDEX NAME)

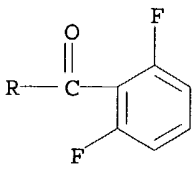


RN 161223-62-7 CAPLUS
CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(4-chloro-3-methylbenzoyl)-, methyl ester (9CI) (CA INDEX NAME)

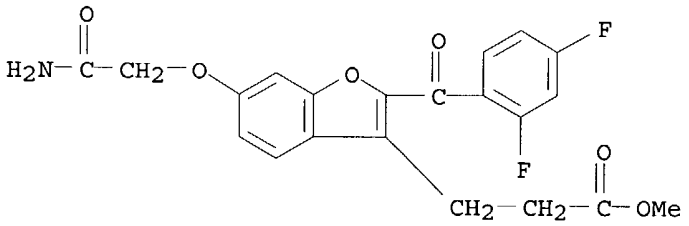


RN 161223-64-9 CAPLUS
CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(2,6-difluorobenzoyl)-, methyl ester (9CI) (CA INDEX NAME)

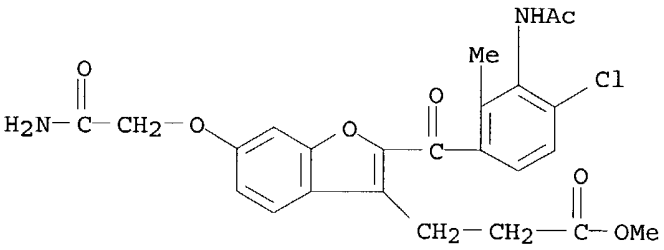




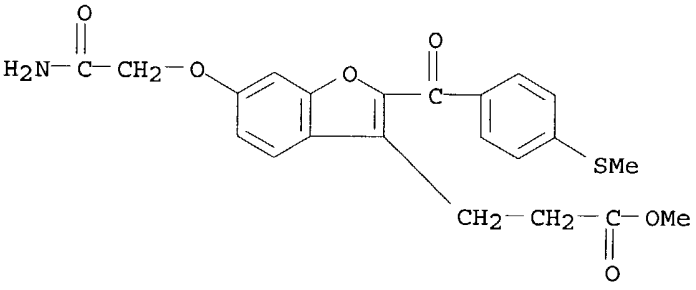
RN 161223-66-1 CAPLUS
CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(2,4-difluorobenzoyl)-, methyl ester (9CI) (CA INDEX NAME)



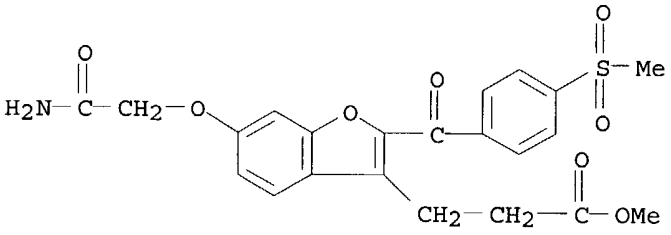
RN 161223-68-3 CAPLUS
CN 3-Benzofuranpropanoic acid, 2-[3-(acetylamino)-4-chloro-2-methylbenzoyl]-6-(2-amino-2-oxoethoxy)-, methyl ester (9CI) (CA INDEX NAME)



RN 161223-70-7 CAPLUS
CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-[4-(methylthio)benzoyl]-, methyl ester (9CI) (CA INDEX NAME)

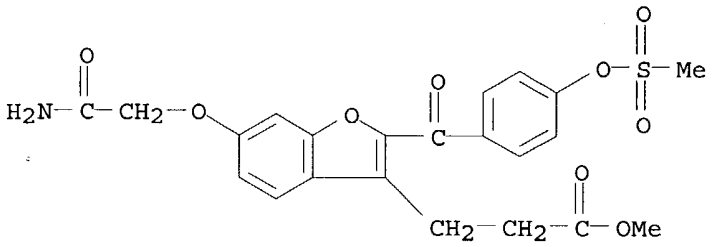


RN 161223-72-9 CAPLUS
CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-[4-(methylsulfonyl)benzoyl]-, methyl ester (9CI) (CA INDEX NAME)

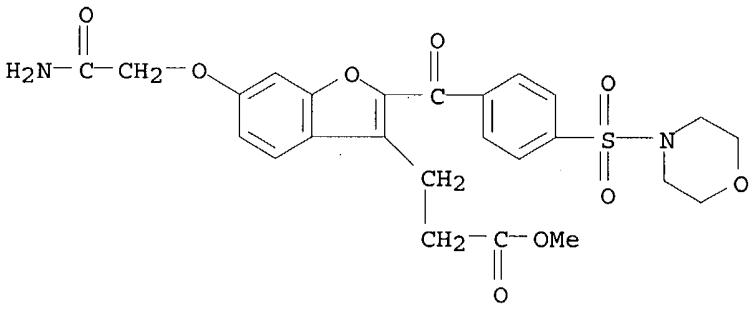


RN 161223-74-1 CAPLUS

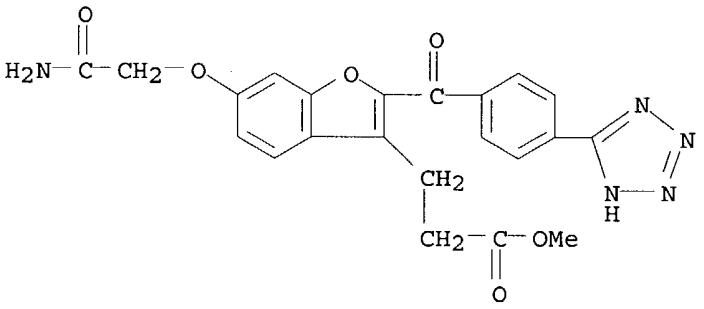
CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-[4-[(methylsulfonyl)oxy]benzoyl]-, methyl ester (9CI) (CA INDEX NAME)



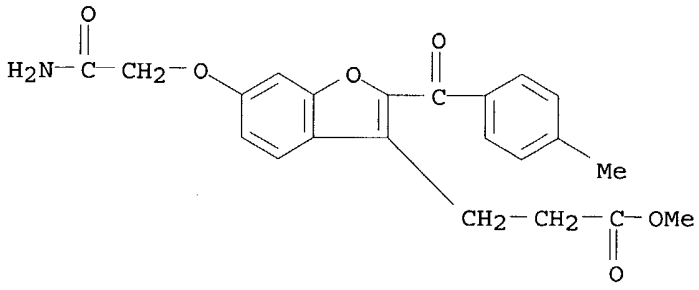
RN 161223-76-3 CAPLUS
CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-[4-(4-morpholinylsulfonyl)benzoyl]-, methyl ester (9CI) (CA INDEX NAME)



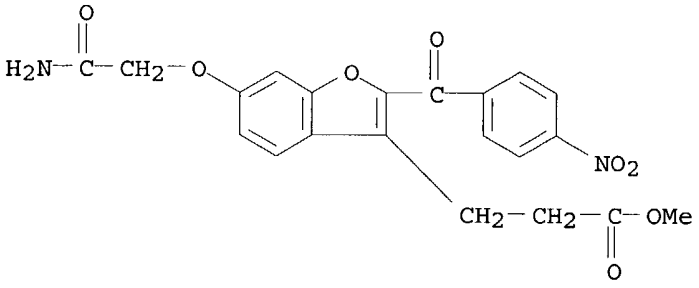
RN 161223-78-5 CAPLUS
CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-[4-(1H-tetrazol-5-yl)benzoyl]-, methyl ester (9CI) (CA INDEX NAME)



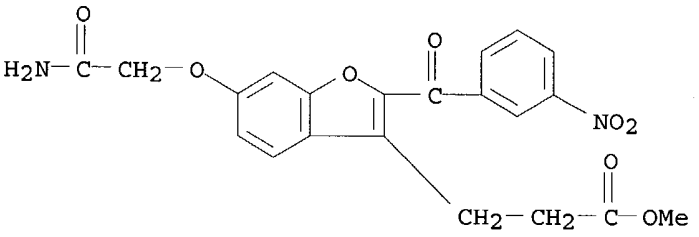
RN 161223-84-3 CAPLUS
CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(4-methylbenzoyl)-, methyl ester (9CI) (CA INDEX NAME)



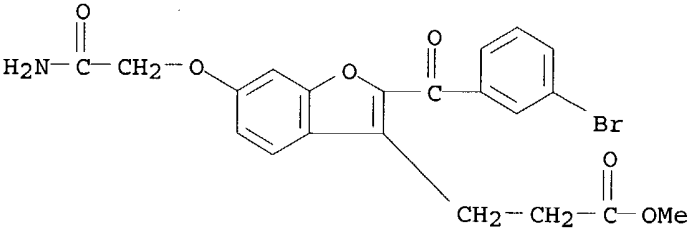
RN 161223-85-4 CAPLUS
CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(4-nitrobenzoyl)-, methyl ester (9CI) (CA INDEX NAME)



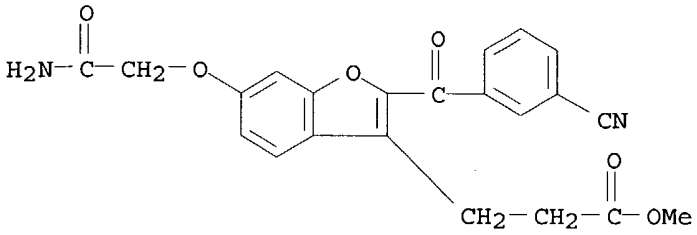
RN 161223-87-6 CAPLUS
CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(3-nitrobenzoyl)-, methyl ester (9CI) (CA INDEX NAME)



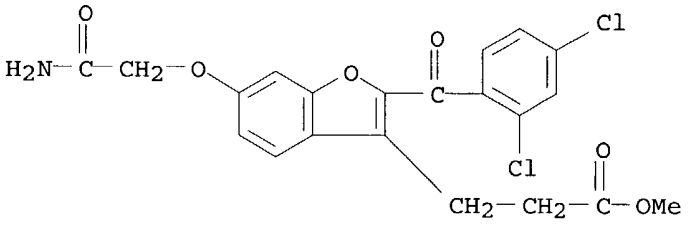
RN 161223-88-7 CAPLUS
CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(3-bromobenzoyl)-, methyl ester (9CI) (CA INDEX NAME)



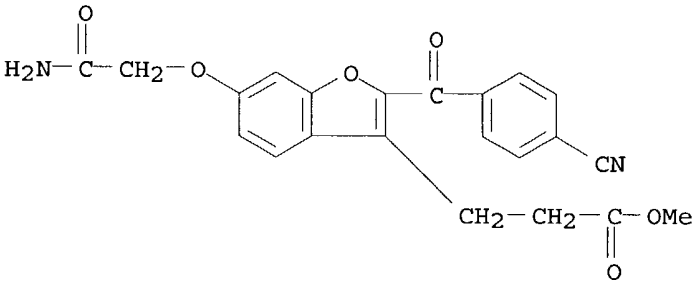
RN 161223-89-8 CAPLUS
CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(3-cyanobenzoyl)-, methyl ester (9CI) (CA INDEX NAME)



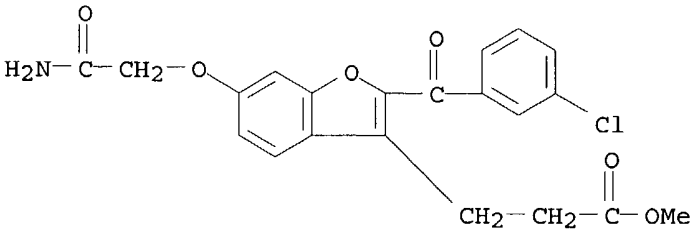
RN 161223-90-1 CAPLUS
CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(2,4-dichlorobenzoyl)-, methyl ester (9CI) (CA INDEX NAME)



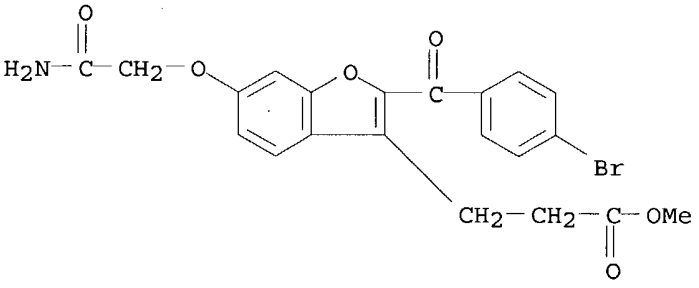
RN 161223-91-2 CAPLUS
CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(4-cyanobenzoyl)-, methyl ester (9CI) (CA INDEX NAME)



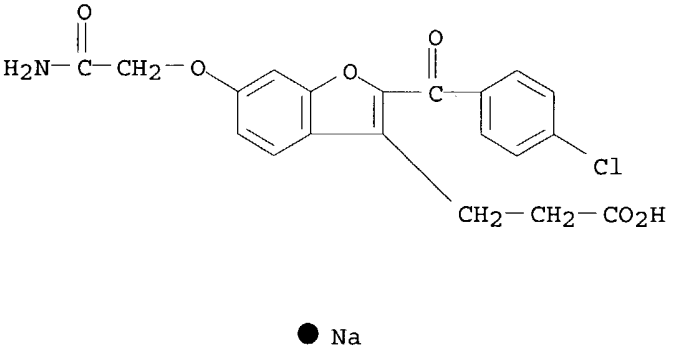
RN 161224-05-1 CAPLUS
CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(3-chlorobenzoyl)-, methyl ester (9CI) (CA INDEX NAME)



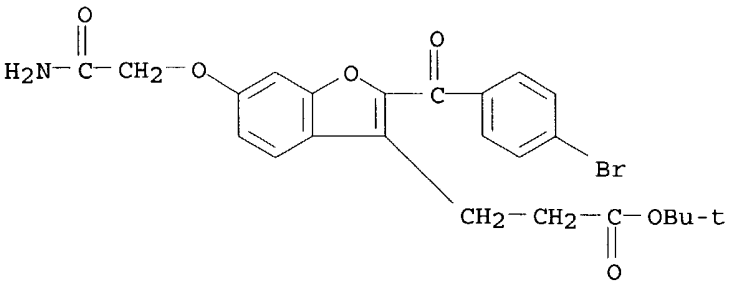
RN 161224-06-2 CAPLUS
CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(4-bromobenzoyl)-, methyl ester (9CI) (CA INDEX NAME)



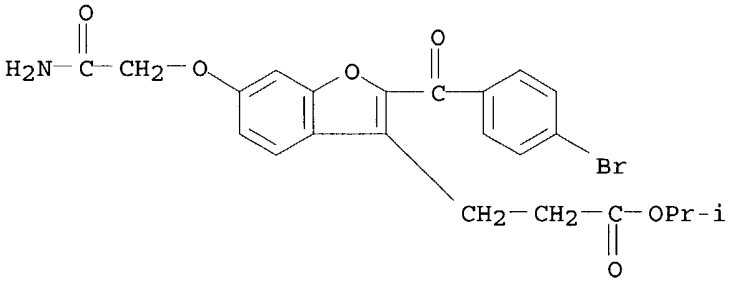
RN 161224-35-7 CAPLUS
CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(4-chlorobenzoyl)-, monosodium salt (9CI) (CA INDEX NAME)



RN 161224-64-2 CAPLUS
CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(4-bromobenzoyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 161224-65-3 CAPLUS
CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(4-bromobenzoyl)-, 1-methylethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 14 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:656294 CAPLUS
DOCUMENT NUMBER: 119:256294
TITLE: Cosmetic composition containing melanin pigments and tocopherols.
INVENTOR(S): Hansenne, Isabelle; Forestier, Serge; N'Guyen, Quang Lan
PATENT ASSIGNEE(S): Oreal S. A., Fr.
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9317659	A1	19930916	WO 1993-FR207	19930302
W: AU, CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
FR 2688136	A1	19930910	FR 1992-2532	19920303
FR 2688136	B1	19950609		
AU 9336372	A1	19931005	AU 1993-36372	19930302
AU 669159	B2	19960530		
EP 587837	A1	19940323	EP 1993-905443	19930302
EP 587837	B1	19980603		
R: DE, ES, FR, GB, IT				
JP 06507424	T2	19940825	JP 1993-515380	19930302
JP 3045545	B2	20000529		

ES 2116442	T3	19980716	ES 1993-905443		19930302
US 5547658	A	19960820	US 1993-26059		19930303
PRIORITY APPLN. INFO.:			FR 1992-2532	A	19920303
			WO 1993-FR207	A	19930302

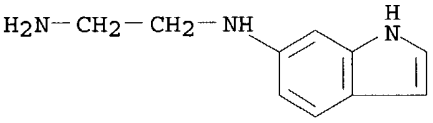
OTHER SOURCE(S): MARPAT 119:256294

AB Mixts. of tocopherols with melanin pigments synergistically protect the skin and hair against the noxious effects of free radicals. The mixts. are incorporated into cosmetics. The melanin pigments are natural or are prepared by indole derivative (Markush given) oxidation A sunscreen cream comprised: melanin obtained by oxidation of 5,6-dihydroxyindole 0.025, DL-α-tocopherol 0.5, Parsol MCX 5.0, Sinnowax AO 7.0, Geleol (glycerol mono- and distearates) 2.0, cetyl alc. (90%) 1.5, vaseline oil 15.0, dimethylsiloxane 1.5, glycerol 3.0, and water to 100 g, as well as perfume and preservative.

IT **150849-55-1D**, melanin-pigment oxidation products, mixts. with tocopherols
RL: BIOL (Biological study)
(cosmetics containing, as synergistic protectants against free radicals)

RN 150849-55-1 CAPLUS

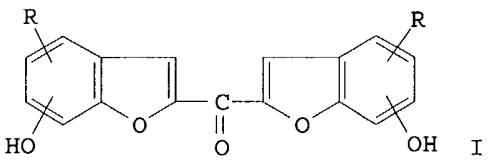
CN 1,2-Ethanediamine, N-1H-indol-6-yl- (9CI) (CA INDEX NAME)



L4 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	1987:84305 CAPLUS
DOCUMENT NUMBER:	106:84305
TITLE:	Synthesis and antiviral activity of bis[(alkylamino)alkoxy]-2-benzofuranyl ketone derivatives and bis(acyloxy)-2-benzofuranyl ketone derivatives
AUTHOR(S):	Nagahara, Michiko; Kuriyama, Kiyoshi; Hiyama, Yoshiyuki; Ito, Kiyoshi; Ikemoto, Masahiko; Nakanishi, Teruo
CORPORATE SOURCE:	Kyoto Res. Inst., Kaken Pharm. Co., Ltd., Kyoto, 607, Japan
SOURCE:	Yakugaku Zasshi (1986), 106(1), 27-35 CODEN: YKKZAJ; ISSN: 0031-6903
DOCUMENT TYPE:	Journal
LANGUAGE:	Japanese
OTHER SOURCE(S):	CASREACT 106:84305

GI



I

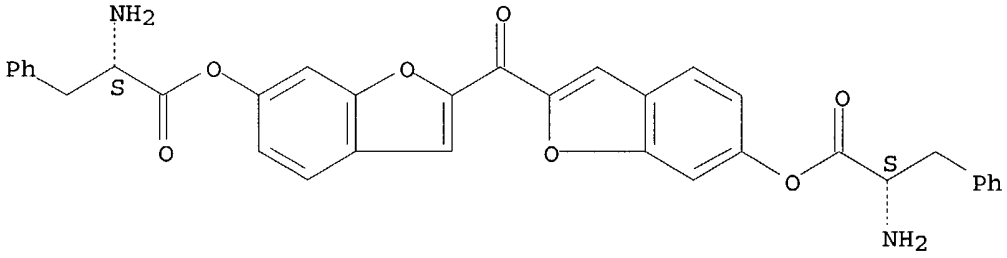
AB Bis[(alkylamino)alkoxy]-2-benzofuranyl ketones were synthesized by the reaction of (alkylamino)alkyl halides with bis(hydroxy-2-benzofuranyl) ketones I (R = H, halo). Bis(acyloxy-2-benzofuranyl) ketones were synthesized by the reaction of acid chlorides and anhydrides with I. Bis(6-acetoxy-2-benzofuranyl) ketone showed the most potent inhibitory activity on the multiplication of influenza virus in vitro. Bis[7-[(diethylamino)ethoxy]-2-benzofuranyl] ketone N,N'-dioxide showed a potent interferon-inducing activity in mice. Both compds. given orally were effective against the infection of an influenza virus in mice.

IT **96795-98-1P 96796-00-8P 96796-02-0P**
96796-09-7P 96796-11-1P 96796-13-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and virucidal activity of)

RN 96795-98-1 CAPLUS

CN L-Phenylalanine, carbonylbis(2,6-benzofurandiyl) ester, dihydrobromide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



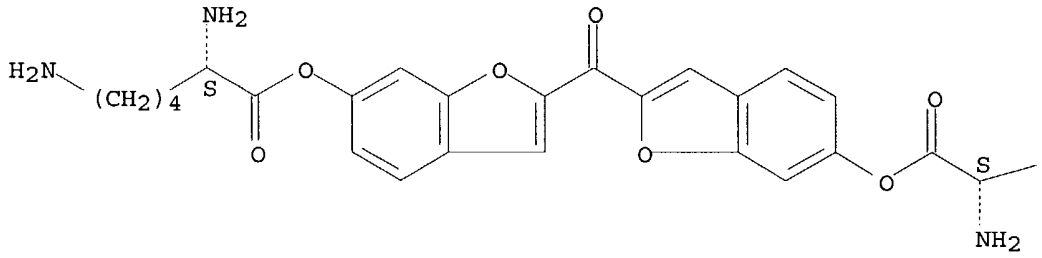
●2 HBr

RN 96796-00-8 CAPLUS

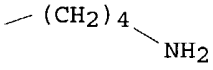
CN L-Lysine, carbonylbis(2,6-benzofurandiyl) ester, tetrahydrobromide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

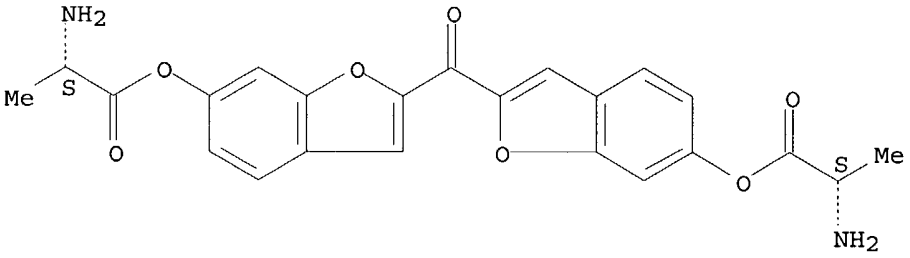


●4 HBr



RN 96796-02-0 CAPLUS
CN L-Alanine, carbonylbis(2,6-benzofurandiyl) ester, dihydrobromide (9CI) (CA INDEX NAME)

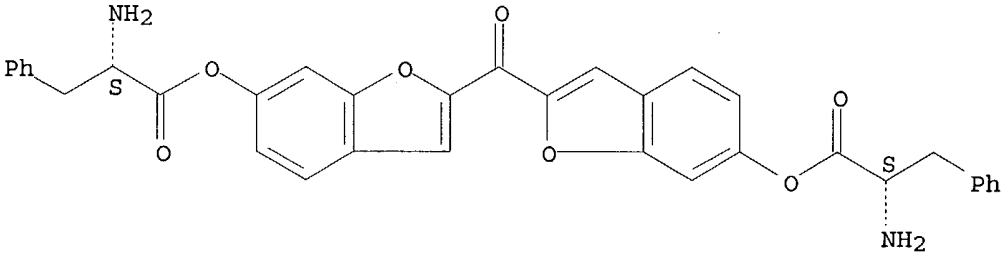
Absolute stereochemistry.



●2 HBr

RN 96796-09-7 CAPLUS
CN L-Phenylalanine, carbonylbis(2,6-benzofurandiyl) ester (9CI) (CA INDEX NAME)

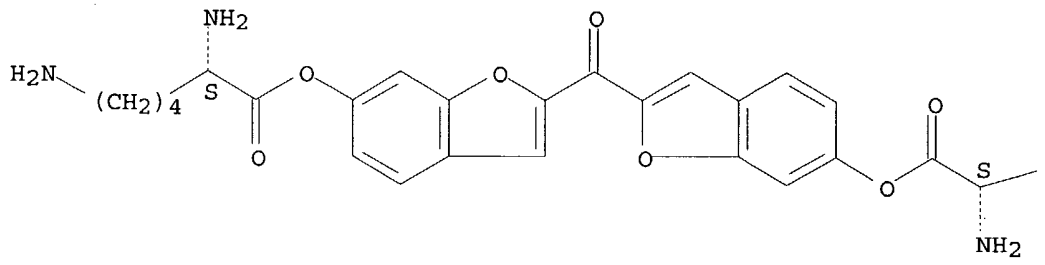
Absolute stereochemistry.



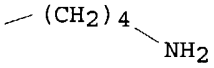
RN 96796-11-1 CAPLUS
CN L-Lysine, carbonylbis(2,6-benzofurandiyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

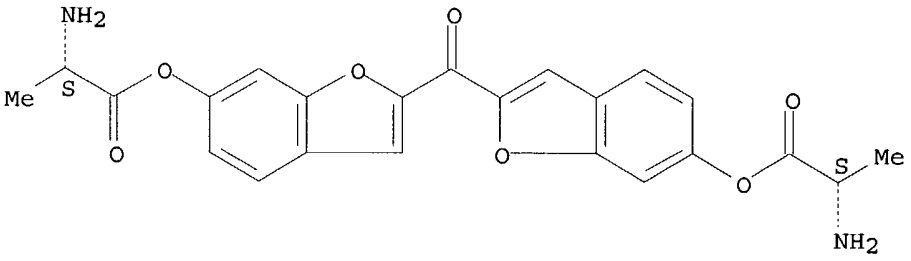


PAGE 1-B



RN 96796-13-3 CAPLUS
CN L-Alanine, carbonylbis(2,6-benzofurandiyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

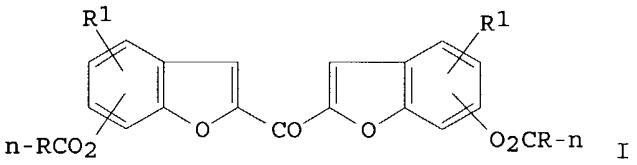


L4 ANSWER 16 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1985:406224 CAPLUS
DOCUMENT NUMBER: 103:6224
TITLE: Bis(acyloxy-2-benzofuranyl) ketones
PATENT ASSIGNEE(S): Kaken Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 60036476	A2	19850225	JP 1983-145085	19830810
JP 04065835	B4	19921021		

PRIORITY APPLN. INFO.: JP 1983-145085 19830810

OTHER SOURCE(S): CASREACT 103:6224
GI



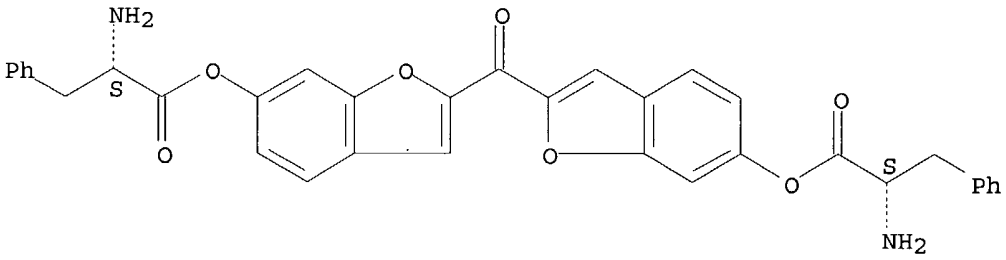
I

AB Antiviral bisbenzofuranyl ketones I (n = 4, 5, 6, 7; RCO = Ac, nicotinoyl, phenylalanyl, lysyl, alanyl, methionyl; R1 = H, 4-Cl, 4,6-Br2) were prepared by O-acylation. Thus, 4-methoxysalicylaldehyde cyclized with 1,3-dichloroacetone and NaOH in dioxane and the MeO group cleaved with AlCl3 in PhCl gave bis(6-hydroxy-2-benzofuranyl) ketone, which was acylated with Ac2O containing H2SO4 to give I (n = 6, RCO = Ac, R1 = H). The latter had higher antiviral activity than amantadine with less cytotoxicity.

IT **96795-98-1P 96796-00-8P 96796-02-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antiviral activity of)

RN 96795-98-1 CAPLUS
CN L-Phenylalanine, carbonylbis(2,6-benzofurandiyl) ester, dihydrobromide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

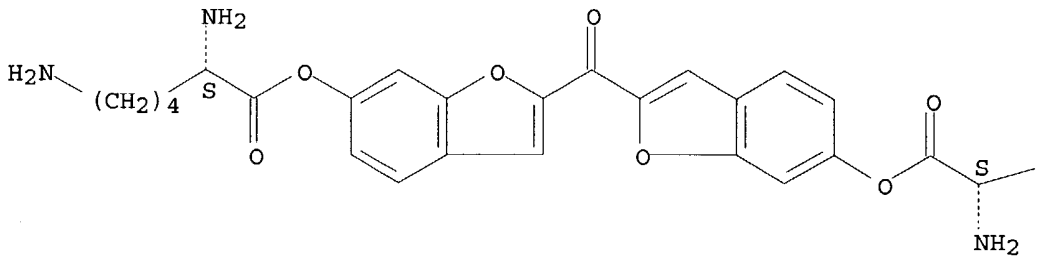


●2 HBr

RN 96796-00-8 CAPLUS
CN L-Lysine, carbonylbis(2,6-benzofurandiyl) ester, tetrahydrobromide (9CI) (CA INDEX NAME)

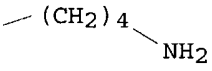
Absolute stereochemistry.

PAGE 1-A



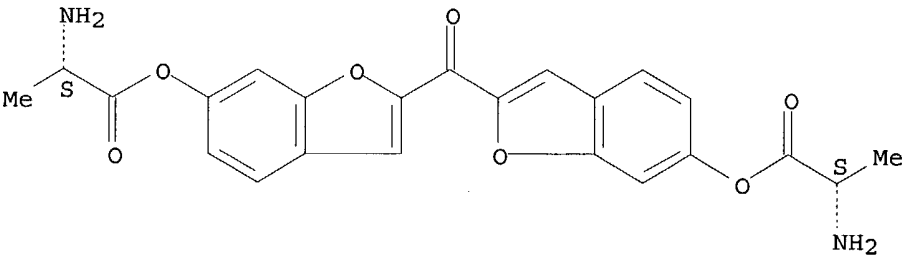
●4 HBr

PAGE 1-B



RN 96796-02-0 CAPLUS
CN L-Alanine, carbonylbis(2,6-benzofurandiyl) ester, dihydrobromide (9CI) (CA INDEX NAME)

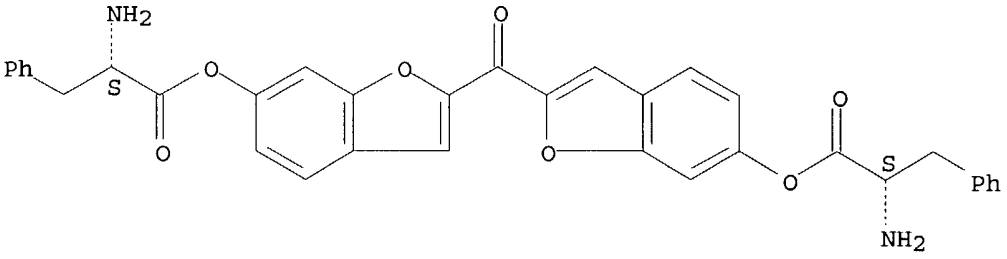
Absolute stereochemistry.



●2 HBr

IT **96796-09-7P 96796-11-1P 96796-13-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 96796-09-7 CAPLUS
CN L-Phenylalanine, carbonylbis(2,6-benzofurandiyl) ester (9CI) (CA INDEX NAME)

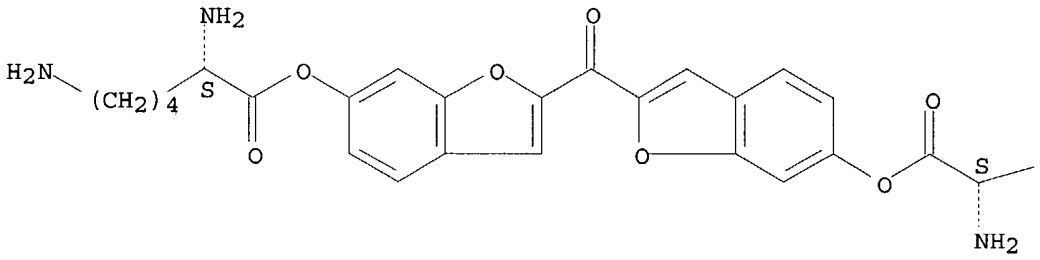
Absolute stereochemistry.



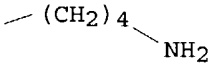
RN 96796-11-1 CAPLUS
CN L-Lysine, carbonylbis(2,6-benzofurandiyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

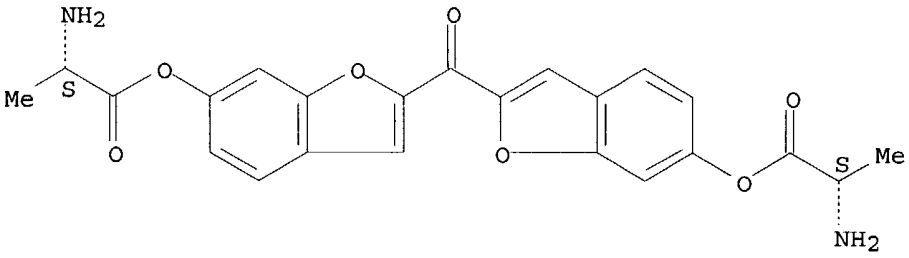


PAGE 1-B



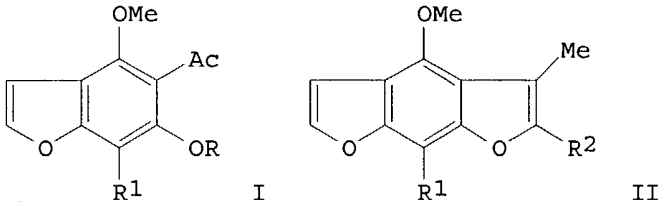
RN 96796-13-3 CAPLUS
CN L-Alanine, carbonylbis(2,6-benzofurandiyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 17 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1979:593211 CAPLUS

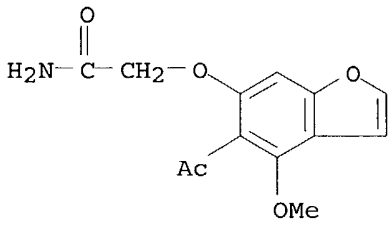
DOCUMENT NUMBER: 91:193211
TITLE: Synthesis of difuran derivatives and their biological activity
AUTHOR(S): Hishmat, O. H.; El-Ebrashi, N. M. A.; Shalash, M. R.; Ismail, I.
CORPORATE SOURCE: Natl. Res. Cent., Cairo, Egypt
SOURCE: Arzneimittel-Forschung (1979), 29(8), 1081-3
CODEN: ARZNAD; ISSN: 0004-4172
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



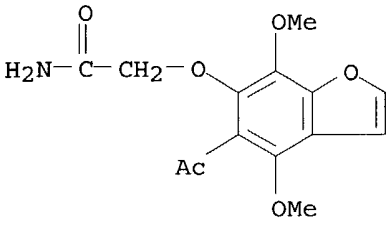
AB Substitution reactions of visnaginone and khellinone with ClCH2CONH2 and ClCH2CN gave the benzopyrans I (R = CH2CONH2, CH2CN; R1 = H, OMe), which cyclized in Ac2O containing NaOAc to give benzodifurans II (R1 = H, OMe; R2 = CONH2, CN). Most I and II possessed bactericidal activity against gram-pos. and gram-neg. bacteria, including Brucella abortus.

IT **71960-36-6P 71960-37-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclocondensation reaction of)

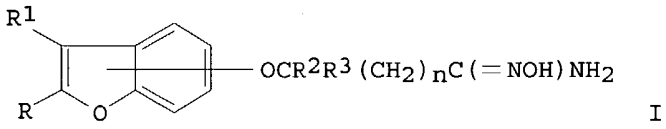
RN 71960-36-6 CAPLUS
CN Acetamide, 2-[(5-acetyl-4-methoxy-6-benzofuranyl)oxy]- (9CI) (CA INDEX NAME)



RN 71960-37-7 CAPLUS
CN Acetamide, 2-[(5-acetyl-4,7-dimethoxy-6-benzofuranyl)oxy]- (6CI, 9CI) (CA INDEX NAME)



L4 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1976:115623 CAPLUS
DOCUMENT NUMBER: 84:115623
TITLE: Benzofuran series. LVII. Benzofuryloxyalkylamidoximes as potential antidepressants
AUTHOR(S): Areschka, Alex; Mahaux, Jean M.; Verbruggen, Francois; Houben, Christian; Descamps, Marcel; Broll, Madeleine; Werbenec, Jean P.; Charlier, Robert; Simiand, Jacques; Eymard, Pierre
CORPORATE SOURCE: Cent. Rech., S. A. Labaz N. V., Brussels, Belg.
SOURCE: European Journal of Medicinal Chemistry (1975), 10(4), 398-407
CODEN: EJMCA5; ISSN: 0223-5234
DOCUMENT TYPE: Journal
LANGUAGE: French
GI



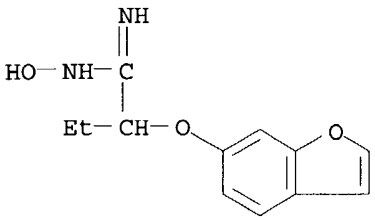
I

AB Forty-eight title compds. (I; R, R1, R2, and R3 = H or alkyl; n = 0-2), in which the oxime-containing side chain is attached to either the homo- or the heterocyclic ring of the benzofuran moiety, were synthesized by the condensation of NH2OH [7803-49-8] with the appropriate benzofuryloxyalkylnitrile. The precursors for the latter were obtained by known procedures. None of the compds. had any antiinflammatory activity, but several possessed antidepressant action, as determined by standard tests; the most active was I-HCl (R = R1 = R3 = H; R2 = Me; n = 0; side chain at position 7; II) [58493-16-6]. In general, the 3 position of I was the most unfavorable location for the side chain. II had stronger antireserpine and antiamphetamine activities than the reference compound, imipramine [50-49-7]. It also had a stronger antitremorine (cholinergic) effect, and was not a monoamine oxidase inhibitor. These properties of II resemble those of the tricyclic antidepressants.

IT **57926-54-2P 57926-55-3P 57926-61-1P 57926-64-4P 57926-73-5P 57926-75-7P 57926-91-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, antidepressants in relation to)

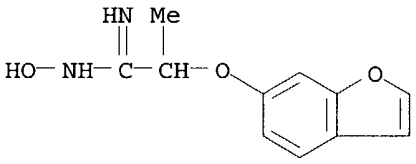
RN 57926-54-2 CAPLUS

CN Butanimidamide, 2-(6-benzofuranyloxy)-N-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



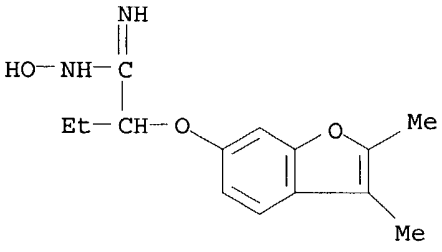
● HCl

RN 57926-55-3 CAPLUS
CN Propanimidamide, 2-(6-benzofuranyloxy)-N-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



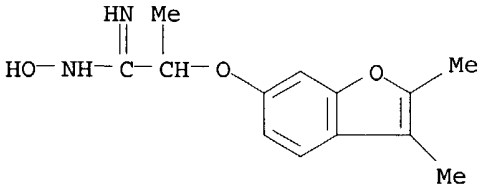
● HCl

RN 57926-61-1 CAPLUS
CN Butanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



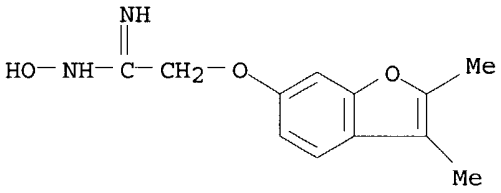
● HCl

RN 57926-64-4 CAPLUS
CN Propanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



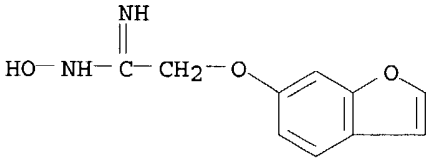
● HCl

RN 57926-73-5 CAPLUS
CN Ethanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



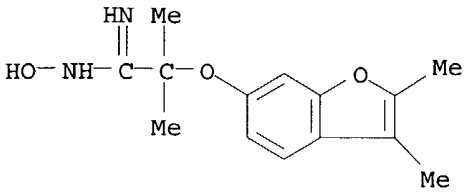
● HCl

RN 57926-75-7 CAPLUS
CN Ethanimidamide, 2-(6-benzofuranyloxy)-N-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 57926-91-7 CAPLUS
CN Propanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L4 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1976:44076 CAPLUS
DOCUMENT NUMBER: 84:44076
TITLE: Amidoxime pharmaceutical
INVENTOR(S): Binon, Fernand; Eymard, Pierre L.
PATENT ASSIGNEE(S): Labaz, Fr.
SOURCE: Ger. Offen., 59 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2501122	A1	19750717	DE 1975-2501122	19750114
DE 2501122	B2	19810521		
DE 2501122	C3	19820318		
FR 2257273	A1	19750808	FR 1974-1286	19740115
US 3931240	A	19760106	US 1974-530076	19741206
FI 7403536	A	19750716	FI 1974-3536	19741209
FI 63746	B	19830429		
FI 63746	C	19830810		
DK 7406451	A	19750908	DK 1974-6451	19741211
DK 137953	C	19781218		
ZA 7408105	A	19760128	ZA 1974-8105	19741219
AU 7476653	A1	19760624	AU 1974-76653	19741219
GB 1462311	A	19770126	GB 1975-1202	19750110
HU 168062	P	19760228	HU 1975-LA853	19750113
NO 7500104	A	19750716	NO 1975-104	19750114
SE 7500360	A	19750716	SE 1975-360	19750114
SE 422202	B	19820222		
SE 422202	C	19820603		
NL 7500399	A	19750717	NL 1975-399	19750114
NL 166020	B	19810115		
NL 166020	C	19810615		
SU 545254	D	19770130	SU 1975-2100651	19750114
CH 593245	A	19771130	CH 1975-362	19750114
CA 1037960	A1	19780905	CA 1975-217910	19750114
ES 433816	A1	19760916	ES 1975-433816	19750115
AT 7500268	A	19770515	AT 1975-268	19750115
AT 340912	B	19780110		
JP 50101348	A2	19750811	JP 1975-7658	19750116
JP 54001301	B4	19790123		
US 3984470	A	19761005	US 1975-599355	19750728

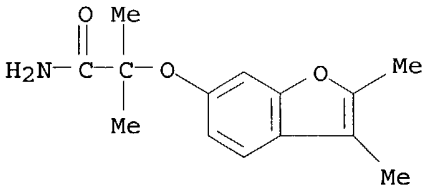
PRIORITY APPLN. INFO.: FR 1974-1286 19740115
US 1974-530076 19741206

AB Antidepressant oximes ROCHR1(CH2)nC(:NOH)NH2 (I, R = naphthyl, benzofuryl, benzodioxanyl, benzothienyl; R1 = H, n = 0-4; R1 = Me, n = 0) were prepared Thus, I (R = 2,3-dimethyl-7-benzofuryl, R1 = H, n = 1) (II) was obtained by treating ROH with BrCH2CH2CO2Et, aminating ROCH2CH2CO2Et, dehydrating ROCH2CH2CONH2, and treating ROCH2CH2CN with NH2OH. II at 50 mg/kg orally in mice potentiated yohimbine toxicity by 40%.

IT **57926-20-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and dehydration of)

RN 57926-20-2 CAPLUS

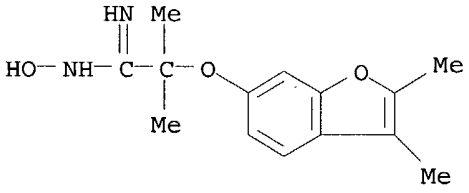
CN Propanamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-2-methyl- (9CI) (CA INDEX NAME)



IT **57925-67-4P 57925-83-4P 57925-87-8P**
57925-90-3P 57925-93-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and pharmacol. activity of)

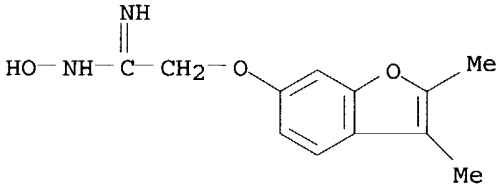
RN 57925-67-4 CAPLUS

CN Propanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

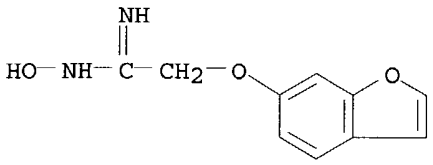


RN 57925-83-4 CAPLUS

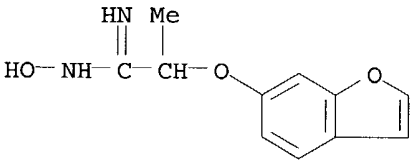
CN Ethanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy- (9CI) (CA INDEX NAME)



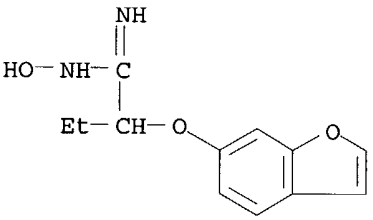
RN 57925-87-8 CAPLUS
CN Ethanimidamide, 2-(6-benzofuranyloxy)-N-hydroxy- (9CI) (CA INDEX NAME)



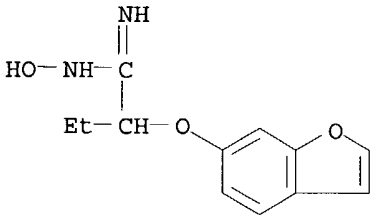
RN 57925-90-3 CAPLUS
CN Propanimidamide, 2-(6-benzofuranyloxy)-N-hydroxy- (9CI) (CA INDEX NAME)



RN 57925-93-6 CAPLUS
CN Butanimidamide, 2-(6-benzofuranyloxy)-N-hydroxy- (9CI) (CA INDEX NAME)

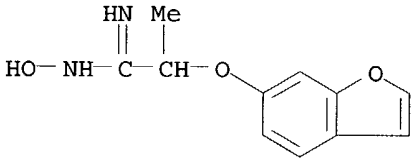


IT **57926-54-2P 57926-55-3P 57926-61-1P**
57926-64-4P 57926-73-5P 57926-75-7P
57926-91-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 57926-54-2 CAPLUS
CN Butanimidamide, 2-(6-benzofuranyloxy)-N-hydroxy-, monohydrochloride (9CI)
(CA INDEX NAME)



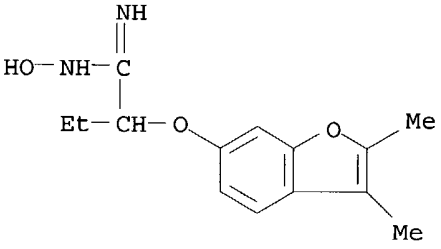
● HCl

RN 57926-55-3 CAPLUS
CN Propanimidamide, 2-(6-benzofuranyloxy)-N-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



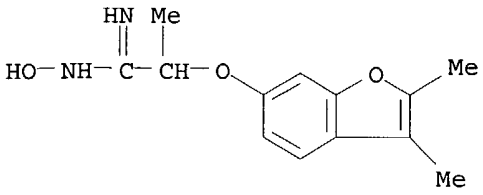
● HCl

RN 57926-61-1 CAPLUS
CN Butanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



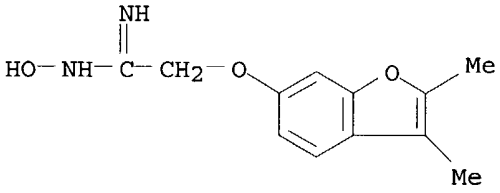
● HCl

RN 57926-64-4 CAPLUS
CN Propanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



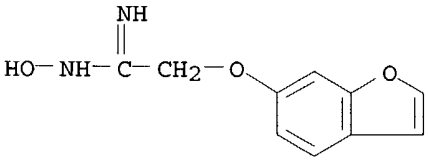
● HCl

RN 57926-73-5 CAPLUS
CN Ethanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



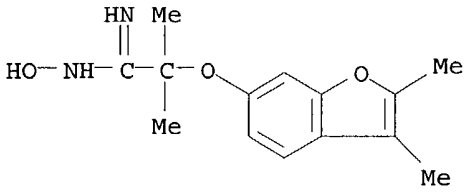
● HCl

RN 57926-75-7 CAPLUS
CN Ethanimidamide, 2-(6-benzofuranyloxy)-N-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 57926-91-7 CAPLUS
CN Propanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



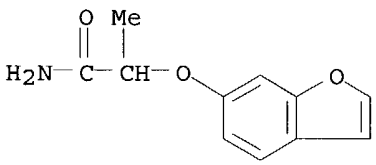
● HCl

L4 ANSWER 20 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1974:420780 CAPLUS
DOCUMENT NUMBER: 81:20780
TITLE: Benzofurans. LIII. Benzofuryloxyalkylguanidines
AUTHOR(S): Areschka, A.; Mahaux, J. M.; Verbruggen, F.; Binon, F.; Charlier, R.; Colot, M.; Heyndrickx, J.
CORPORATE SOURCE: Cent. Rech., S. A. Labaz N. V., Brussels, Belg.
SOURCE: Chimica Therapeutica (1973), 8(6), 613-20
CODEN: CHTPBA; ISSN: 0009-4374
DOCUMENT TYPE: Journal
LANGUAGE: French

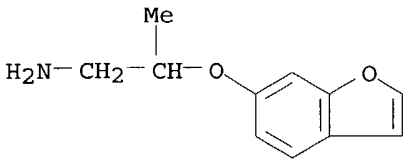
AB Eighteen benzofuryloxyalkylguanidines (I) were prepared from cyanamide [420-04-2] and the appropriate benzofuryloxyalkylamine hydrochloride. Four related coumaran, benzodioxan, and naphthalene derivs. were also prepared Of the 22 compds., 1-[2-(4-benzofuryloxy)ethyl]guanidine methanesulfonate [51816-86-5], 1-[2-(4-benzofuryloxy)propyl]guanidine methanesulfonate [51816-92-3], 1-[2-(7-benzofuryloxy)propyl]guanidine methanesulfonate [51816-98-9], and 1-[2-(7-benzofuryloxy)-2-methylpropyl]guanidine methanesulfonate [51817-00-6] were the most active antihypertensives in rats. Structure activity relations were discussed.

IT **51817-42-6P 51817-43-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

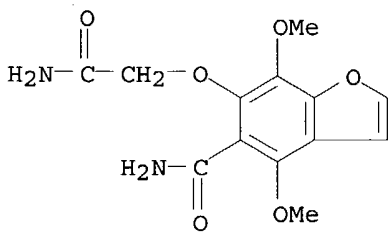
RN 51817-42-6 CAPLUS
CN Propanamide, 2-(6-benzofuranyloxy)- (9CI) (CA INDEX NAME)



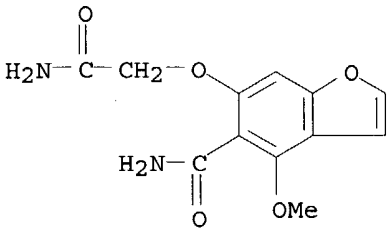
RN 51817-43-7 CAPLUS
CN 1-Propanamine, 2-(6-benzofuranyloxy)- (9CI) (CA INDEX NAME)



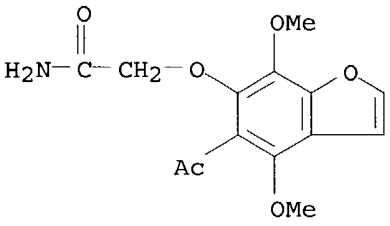
L4 ANSWER 21 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1971:510120 CAPLUS
DOCUMENT NUMBER: 75:110120
TITLE: Synthesis of some benzofuran carboxamide derivatives and their analgesic activity
AUTHOR(S): Hishmat, Orchidee H.; Hashim, A. A.; Shalash, M. R.; Nawito, M.
CORPORATE SOURCE: Natl. Res. Cent., Cairo, Egypt
SOURCE: Arzneimittel-Forschung (1971), 21(7), 1026-8
CODEN: ARZNAD; ISSN: 0004-4172
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Benzofurancarboxamides (I) were prepared and their analgesic activity was tested on rats. Thus, 4-methoxy-6-hydroxy-5-benzofurancarboxylic acid was treated with CH2N2 and the product refluxed with NH4OH to give I (R = OH, R1 = H), which was treated in NaOH solution with ClCH2CO2H to give 78% I (R = OCH2CO2H, R1 = H) (II). Treatment of I (R = OH, R1 = OMe) with ClCH2CO2H in Me2CO in the presence of K2CO3 and KI gave 81% I (R = OCH2CO2H, R1 = OMe) (III). Ester, hydrazide, and amide derivs. of II and III were prepared III has analgesic activity at ED50 10 μg/g. Other I were inactive.
IT **33688-68-5P 33688-69-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 33688-68-5 CAPLUS
CN 5-Benzofurancarboxamide, 6-(carbamoylmethoxy)-4,7-dimethoxy- (8CI) (CA INDEX NAME)



RN 33688-69-6 CAPLUS
CN 5-Benzofurancarboxamide, 6-(carbamoylmethoxy)-4-methoxy- (8CI) (CA INDEX NAME)



L4 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1958:6374 CAPLUS
DOCUMENT NUMBER: 52:6374
ORIGINAL REFERENCE NO.: 52:1156b-g
TITLE: Ethers of khellinone and their conversion to dimethoxybenzodifuran derivatives
AUTHOR(S): Musante, Carlo
CORPORATE SOURCE: Univ. Trieste, Italy
SOURCE: Gazzetta Chimica Italiana (1957), 87, 470-84
CODEN: GCITA9; ISSN: 0016-5603
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB cf. C.A. 51, 6621a. Khellinone (I, R = OH) (II) with compds. of the type R'COCH2Cl yields ethers (I, R = R'COCH2O) which on dehydration cyclize to benzo[1,2-b,5,4-b']difuran derivs. (III). Thus, 2.07 g. II (prepared by degradation of khellin) in 38 cc. Me2CO refluxed 8-10 hrs. with 2 cc. EtO2CCH2Cl and 4.5 g. K2CO3, filtered, and distilled yields I (R = EtO2CCH2O) (IV), b. 198°, saponified to the free acid, m. 113-14° (semicarbazone, m. 185-6°; Me ester, m. 170-2°). IV (1 g.) refluxed 1 hr. with 6 cc. Ac2O and 1 g. AcONa, stirred with H2O, extracted with ether, and the extract shaken with NaHCO3, H2O-washed, and stripped of the solvent gives 0.4 g. III (R' = H). Similarly, II and H2NCOCH2Cl yield I (R = H2NCOCH2O) (V), m. 135°, which, heated with 10% aqueous NaOH and then acidified, is converted to III (R' = HO2C), m. 237°. V refluxed 1 hr. with Ac2O and AcONa gives III (R' = AcNHCO), m. 168°, which, heated 1 hr. with aqueous NaOH, yields III (R' = H2NCO), m. 171-2°. II (2.36 g.) in 40 cc. Me2CO refluxed 8 hrs. with 2 g. BzCH2Br and 4 g. K2CO3, filtered, and stirred with water gives directly III (R' = Bz), m. 126°, oxidized with permanganate to BzOH and (CO2H)2. Similarly, 2.5 g. II and 2 g. p-MeOC6H4COCH2Cl yield III (R' = p-MeOC6H4CO), m. 136-7° (p-nitrophenylhydrazone, m. 202-4°), oxidized by permanganate to anisic and oxalic acids. II (2 g.) and 2.3 g. p-PhC6H4COCH2Br yield III (R = p-PhC6H4CO), m. 193-5°, oxidized by permanganate to p-C6H4(CO2H)2 and (CO2H)2. II and PhNHCOCH2Cl yield I (R = PhNHCOCH2O), m. 95°, which is not cyclized by Ac2O, but by refluxing with aqueous NaOH, acidifying, recrystg. from EtOH, and drying over H2SO4 gives III (R' = PhNHCO). II and Et2NCH2CH2Cl yield I (R = Et2NCH2CH2O) (picrate, m. 111°), and Me2NCH2CH2Cl gives I (R = Me2NCH2CH2O) (picrate, m. 113°); these 2 compds. are not cyclized by Ac2O.
IT **71960-37-7**, Acetamide, 2-(5-acetyl-4,7-dimethoxy-6-benzofuranyloxy)-
(preparation of)
RN 71960-37-7 CAPLUS
CN Acetamide, 2-[(5-acetyl-4,7-dimethoxy-6-benzofuranyl)oxy]- (6CI, 9CI) (CA INDEX NAME)



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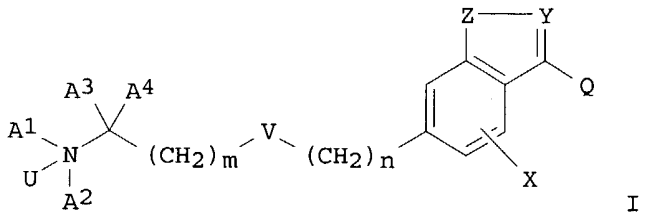
YOU HAVE REQUESTED DATA FROM FILE 'CAPLUS' - CONTINUE? (Y)/N:y

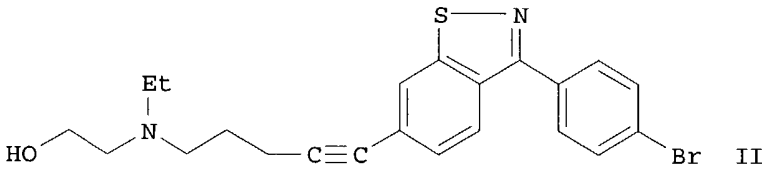
L8 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:353447 CAPLUS
DOCUMENT NUMBER: 136:369719
TITLE: Preparation of cholesterol lowering benzo[b]thiophenyl and benzo[d]isothiazolyl alkylamines
INVENTOR(S): Aebi, Johannes; Ackermann, Jean; Dehmlow, Henrietta; Maerki, Hans-Peter; Morand, Olivier
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 142 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002036584	A1	20020510	WO 2001-EP12451	20011026
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002014029	A5	20020515	AU 2002-14029	20011026
BR 2001015075	A	20030729	BR 2001-15075	20011026
EP 1334094	A1	20030813	EP 2001-982445	20011026
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004513124	T2	20040430	JP 2002-539343	20011026
US 2002086891	A1	20020704	US 2001-999424	20011031

PRIORITY APPLN. INFO.: EP 2000-123826 A 20001102
WO 2001-EP12451 W 20011026
OTHER SOURCE(S): MARPAT 136:369719
GI



I



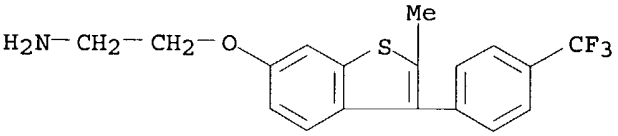
II

AB Title compds. I [wherein U = O or a lone pair; A1 = H or (un)substituted alkyl or alkenyl; A2 = cycloalkylalkyl, alkenyl, alkynyl, heteroaryl, or (un)substituted alkyl; or NA1A2 = (un)substituted heterocyclyl; A3 and A4 = independently H or alkyl; or CA3A4 = (un)substituted cycloalkyl; V = CH:CH and m and n = 0; or V = CH2 and m+n ≤ 2; or V = O or NR2 and m = 1-6 and n = 1-6 and m+n ≤ 7 or m = 1-3 and n = 0; or V = S and m = 1-7 and n = 0-6 and m+n ≤ 7; or V = C.tplbond.C and m = 0-7 and n = 0-7 and m+n ≤ 7; X = H, halo, or alkyl; Y = N or CR1; Z = S or SO2; Q = cycloalkyl(alkyl) or (un)substituted Ph; and pharmaceutically acceptable salts and/or pharmaceutically acceptable esters thereof] were prepared as 2,3-oxidosqualene-lanosterol cyclase (OSC) inhibitors. For example, trifluoromethanesulfonic acid 3-(4-bromophenyl)benzo[d]isothiazol-6-yl ester (prepared in a multi-step synthesis starting from 4-bromobenzoyl chloride, 3-fluoroanisole, and benzyl mercaptan) was coupled with 4-pentyn-1-ol using PdCl2(PPh3)2 and TEA in THF (72%). The alc. was converted to the methanesulfonate and aminated with 2-(ethylamino)ethanol to afford II. Preferred compds. of the invention inhibited human liver microsomal OSC with IC50 values of 1 nM to 10 μM. I are useful for the treatment and/or prophylaxis of hypercholesterolemia, hyperlipemia, arteriosclerosis, vascular diseases, mycoses, parasite infections, gallstones, tumors and/or hyperproliferative disorders, and treatment and/or prophylaxis of impaired glucose tolerance, and diabetes (no data).

IT **423163-76-2P**, [2-[[2-Methyl-3-(4-trifluoromethylphenyl)benzo[b]thiophen-6-yl]oxy]ethyl]amine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(cholesterol lowering agent; preparation of cholesterol lowering benzo[b]thiophenyl and benzo[d]isothiazolyl alkylamines with OSC inhibiting activity)

RN 423163-76-2 CAPLUS

CN Ethanamine, 2-[[2-methyl-3-[4-(trifluoromethyl)phenyl]benzo[b]thien-6-yl]oxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:816638 CAPLUS
DOCUMENT NUMBER: 135:357839
TITLE: Preparation of bicyclic compounds such as benzofuran, indole, benzothiofuran, and indene derivatives of phenylethanolamine as β adrenoreceptor agonists
INVENTOR(S): Ikuta, Shunichi; Miyoshi, Shiro; Ogawa, Kohei
PATENT ASSIGNEE(S): Asahi Kasei Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001083451	A1	20011108	WO 2001-JP3575	20010425
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001052574	A5	20011112	AU 2001-52574	20010425
EP 1277736	A1	20030122	EP 2001-925911	20010425
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003191174	A1	20031009	US 2002-258817	20021028

PRIORITY APPLN. INFO.: JP 2000-130414 A 20000428
WO 2001-JP3575 W 20010425

OTHER SOURCE(S): MARPAT 135:357839
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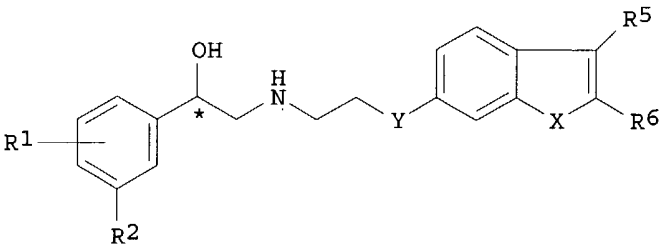


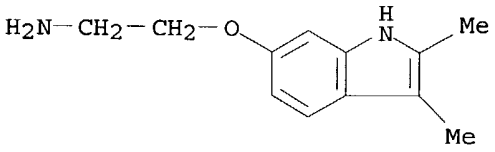
I

AB Compds. of the general formula (I) or salts thereof [wherein R1 is hydrogen, hydroxy, or halo; R2 is NHSO2R3, SO2NR4R4' (wherein R3 is C1-6 alkyl, benzyl, Ph, or NR4R4'; R4, R4' = H or C1-6 alkyl); R5 and R6 are each independently hydrogen, C1-6 alkyl, optionally substituted Ph, or benzyl; X is NH, sulfur, oxygen, or methylene; Y is oxygen, NR7, sulfur, methylene, or a bond (wherein R7 is H, C1-6 alkyl, or C1-6 acyl); and *

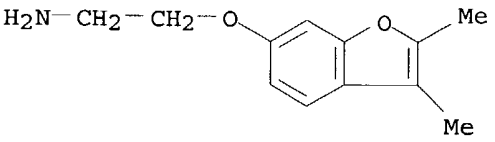
represents an asym. carbon atom.] are prepared These compds. exhibit a potent and selective stimulating activity for human β3 adrenoreceptor with very little effect on increasing heart beat of guinea pigs and are useful as preventive and therapeutic drugs for diabetes, obesity, hyperlipidemia, digestive system diseases, depression, and urinary disorders. Thus, N-(3-bromoacetylphenyl)methanesulfonamide, 2-(2,3-dimethyl-1H-indol-6-yloxy)ethylamine, and Et3N were added to DMF, stirred at room temperature for 1 h, treated with a solution of NaBH4 in ethanol, and stirred at room temperature for 5 h to give, after purification on a reversed phase column, N-[3-[2-[[2-(2,3-dimethyl-1H-indol-6-yloxy)ethyl]amino]-1-hydroxyethyl]phenyl]methanesulfonamide trifluoroacetate salt (II). II was as potent as isoproterenol for stimulating the production of cAMP in CHO cell line expressing human β3 adrenoreceptor (Ed50 of 8.7 nM).

IT **372093-05-5P**, 2-(2,3-Dimethyl-1H-indol-6-yloxy)ethylamine
372094-15-0P, 6-(2-Aminoethoxy)-2,3-dimethylbenzofuran hydrobromide **372094-24-1P**, 6-(2-Aminoethoxy)-2,3-dimethylbenzothiophene hydrobromide **372094-69-4P**
372094-72-9P, 2-(2,3-Dimethylbenzofuran-6-yloxy)ethylamine
372094-77-4P, 2-(2,3-Dimethylbenzothiophen-6-yloxy)ethylamine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of bicyclic compds. such as benzofuran, indole, benzothiofuran, and indoline derivs. of phenylethanolamine as β adrenoreceptor agonists and preventive and therapeutic drugs)

RN 372093-05-5 CAPLUS
CN Ethanamine, 2-[(2,3-dimethyl-1H-indol-6-yl)oxy]- (9CI) (CA INDEX NAME)

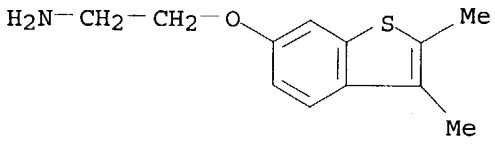


RN 372094-15-0 CAPLUS
CN Ethanamine, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-, hydrobromide (9CI) (CA INDEX NAME)



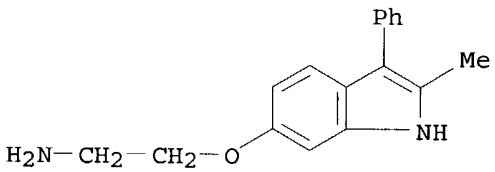
● HBr

RN 372094-24-1 CAPLUS
CN Ethanamine, 2-[(2,3-dimethylbenzo[b]thien-6-yl)oxy]-, hydrobromide (9CI) (CA INDEX NAME)

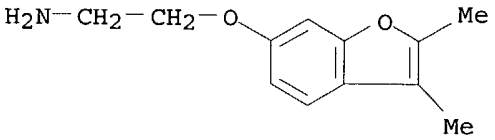


● HBr

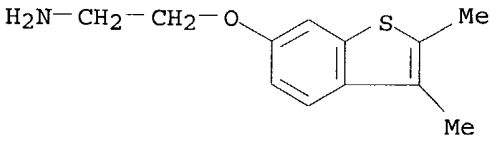
RN 372094-69-4 CAPLUS
CN Ethanamine, 2-[(2-methyl-3-phenyl-1H-indol-6-yl)oxy]- (9CI) (CA INDEX NAME)



RN 372094-72-9 CAPLUS
CN Ethanamine, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]- (9CI) (CA INDEX NAME)



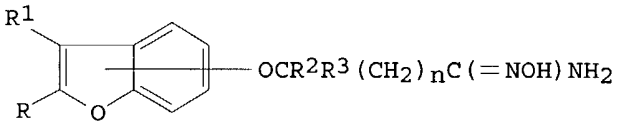
RN 372094-77-4 CAPLUS
CN Ethanamine, 2-[(2,3-dimethylbenzo[b]thien-6-yl)oxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1976:115623 CAPLUS
DOCUMENT NUMBER: 84:115623
TITLE: Benzofuran series. LVII. Benzofuryloxyalkylamidoximes as potential antidepressants
AUTHOR(S): Areschka, Alex; Mahaux, Jean M.; Verbruggen, Francois; Houben, Christian; Descamps, Marcel; Broll, Madeleine; Werbenec, Jean P.; Charlier, Robert; Simiand, Jacques;

Eymard, Pierre
CORPORATE SOURCE: Cent. Rech., S. A. Labaz N. V., Brussels, Belg.
SOURCE: European Journal of Medicinal Chemistry (1975), 10(4), 398-407
CODEN: EJMCA5; ISSN: 0223-5234
DOCUMENT TYPE: Journal
LANGUAGE: French
GI

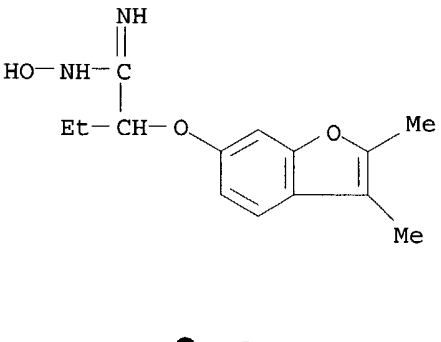


I

AB Forty-eight title compds. (I; R, R1, R2, and R3 = H or alkyl; n = 0-2), in which the oxime-containing side chain is attached to either the homo- or the heterocyclic ring of the benzofuran moiety, were synthesized by the condensation of NH2OH [7803-49-8] with the appropriate benzofuryloxyalkylnitrile. The precursors for the latter were obtained by known procedures. None of the compds. had any antiinflammatory activity, but several possessed antidepressant action, as determined by standard tests; the most active was I-HCl (R = R1 = R3 = H; R2 = Me; n = 0; side chain at position 7; II) [58493-16-6]. In general, the 3 position of I was the most unfavorable location for the side chain. II had stronger antireserpine and antiamphetamine activities than the reference compound, imipramine [50-49-7]. It also had a stronger antitremorine (cholinergic) effect, and was not a monoamine oxidase inhibitor. These properties of II resemble those of the tricyclic antidepressants.

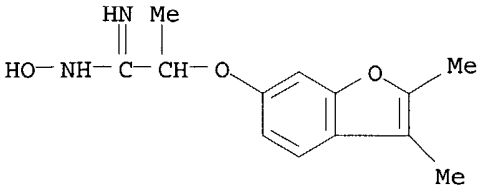
IT **57926-61-1P 57926-64-4P 57926-73-5P 57926-91-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, antidepressants in relation to)

RN 57926-61-1 CAPLUS
CN Butanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



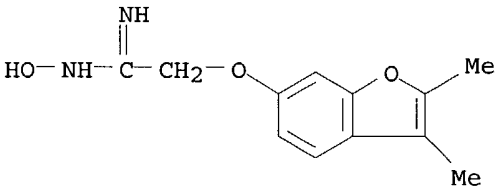
● HCl

RN 57926-64-4 CAPLUS
CN Propanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



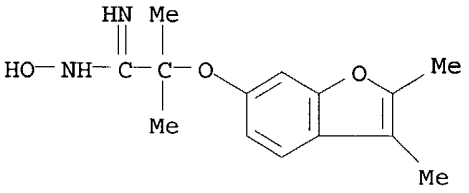
● HCl

RN 57926-73-5 CAPLUS
CN Ethanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 57926-91-7 CAPLUS
CN Propanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L8 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1976:44076 CAPLUS
DOCUMENT NUMBER: 84:44076
TITLE: Amidoxime pharmaceutical
INVENTOR(S): Binon, Fernand; Eymard, Pierre L.
PATENT ASSIGNEE(S): Labaz, Fr.
SOURCE: Ger. Offen., 59 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2501122	A1	19750717	DE 1975-2501122	19750114
DE 2501122	B2	19810521		
DE 2501122	C3	19820318		
FR 2257273	A1	19750808	FR 1974-1286	19740115
US 3931240	A	19760106	US 1974-530076	19741206
FI 7403536	A	19750716	FI 1974-3536	19741209
FI 63746	B	19830429		
FI 63746	C	19830810		
DK 7406451	A	19750908	DK 1974-6451	19741211
DK 137953	C	19781218		
ZA 7408105	A	19760128	ZA 1974-8105	19741219
AU 7476653	A1	19760624	AU 1974-76653	19741219
GB 1462311	A	19770126	GB 1975-1202	19750110
HU 168062	P	19760228	HU 1975-LA853	19750113
NO 7500104	A	19750716	NO 1975-104	19750114
SE 7500360	A	19750716	SE 1975-360	19750114
SE 422202	B	19820222		
SE 422202	C	19820603		
NL 7500399	A	19750717	NL 1975-399	19750114
NL 166020	B	19810115		
NL 166020	C	19810615		
SU 545254	D	19770130	SU 1975-2100651	19750114
CH 593245	A	19771130	CH 1975-362	19750114
CA 1037960	A1	19780905	CA 1975-217910	19750114
ES 433816	A1	19760916	ES 1975-433816	19750115
AT 7500268	A	19770515	AT 1975-268	19750115
AT 340912	B	19780110		
JP 50101348	A2	19750811	JP 1975-7658	19750116
JP 54001301	B4	19790123		
US 3984470	A	19761005	US 1975-599355	19750728

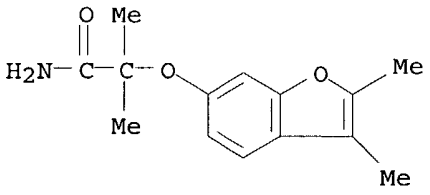
PRIORITY APPLN. INFO.: FR 1974-1286 19740115
US 1974-530076 19741206

AB Antidepressant oximes ROCHR1(CH2)nC(:NOH)NH2 (I, R = naphthyl, benzofuryl, benzodioxanyl, benzothienyl; R1 = H, n = 0-4; R1 = Me, n = 0) were prepared Thus, I (R = 2,3-dimethyl-7-benzofuryl, R1 = H, n = 1) (II) was obtained by treating ROH with BrCH2CH2CO2Et, aminating ROCH2CH2CO2Et, dehydrating ROCH2CH2CONH2, and treating ROCH2CH2CN with NH2OH. II at 50 mg/kg orally in mice potentiated yohimbine toxicity by 40%.

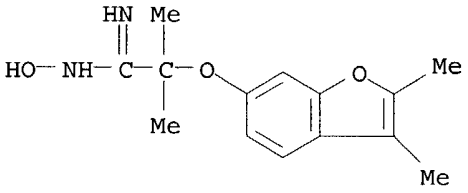
IT **57926-20-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and dehydration of)

RN 57926-20-2 CAPLUS

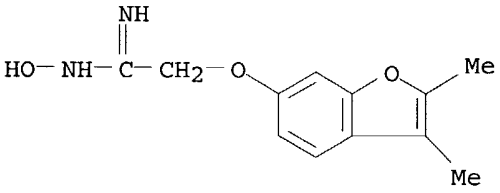
CN Propanamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-2-methyl- (9CI) (CA INDEX NAME)



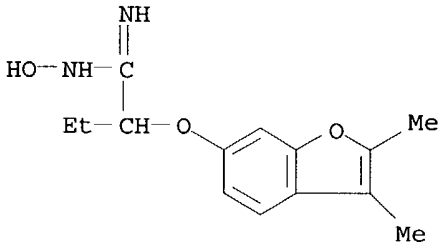
IT **57925-67-4P 57925-83-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and pharmacol. activity of)
RN 57925-67-4 CAPLUS
CN Propanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy-2-methyl- (9CI) (CA INDEX NAME)



RN 57925-83-4 CAPLUS
CN Ethanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy- (9CI) (CA INDEX NAME)

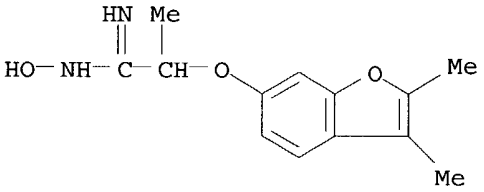


IT **57926-61-1P 57926-64-4P 57926-73-5P**
57926-91-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 57926-61-1 CAPLUS
CN Butanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



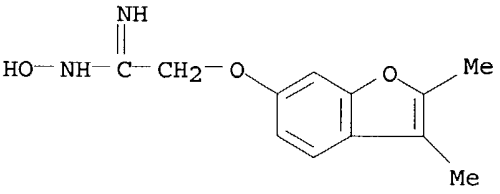
● HCl

RN 57926-64-4 CAPLUS
CN Propanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



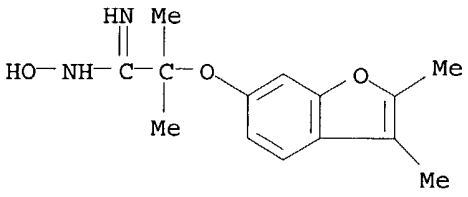
● HCl

RN 57926-73-5 CAPLUS
CN Ethanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 57926-91-7 CAPLUS
CN Propanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.42	603.09

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-18.02

STN INTERNATIONAL LOGOFF AT 12:28:37 ON 17 JUN 2004